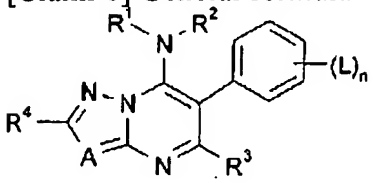
* NOTICES *

1.This document has been translated by computer. So the translation may not reflect the original precisely.
2.**** shows the word which can not be translated.
3.In the drawings, any words are not translated.

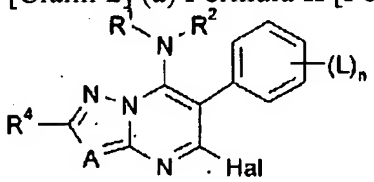
CLAIMS

[Claim(s)]
[Claim 1] General formula I [Formula 1]



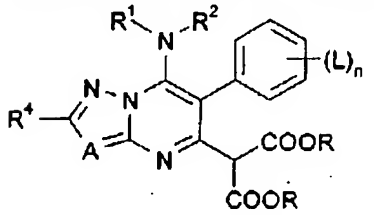
(I)

The alkyl by which R1 was permuted by the case among the formula, the alkenyl, alkynyl, Alkadienyl, aryl, heteroaryl, cycloalkyl, bicyclo alkyl, or a heterocyclyl radical is expressed. Alkyl, alkenyl by which R2 was permuted by the hydrogen atom or the case, Express alkynyl, alkadienyl, aryl, heteroaryl, cycloalkyl, bicyclo alkyl, or a heterocyclyl radical, or R1 and R2 [or] Become together with the intervening nitrogen atom and the heterocycle type ring permuted by the case is expressed. R3 expresses an alkyl group and R4 expresses hydrogen, alkyl, or an aryl group. the compound whose n L expresses the alkyl or the alkoxy group permuted by the halogen atom or the case, and A expresses ** in which R5 has the semantics R4 were indicated to be N or CR5, and here, and is the integer of 0, or 1-5 and which is come out of and shown.
[Claim 2] (a) Formula II [Formula 2]



(II)

the 5-halo-AZORO pyrimidine shown by (A, R1, R2, R4, L, and n have among a formula the semantics Formula I was indicated to be, and Hal expresses a halogen atom) -- malonic-acid alkyl -- it is -- the bottom of existence of a base -- processing -- the (b) type III -- [Formula 3]



(III)

It is the manufacture approach of the compound shown by the formula I to which R3 which comes to contain what the obtained amino AZORO pyrimidine-5-IRUMARON acid ester which is shown by (R1, R2, R4, A, L, and n have semantics according to claim 1 among a formula, and R expresses an alkyl group) is heated for under existence of an acid expresses a methyl group.
[Claim 3] Support, and the sterilization and the ** mold constituent which come at least to contain a

kind of compound shown by the formula I according to claim 1 as an activator.
[Claim 4] How to prevent the bacillus and mold of the whereabouts location which comes to contain processing a whereabouts location (locus) with the compound shown by the formula I according to claim 1, or a constituent according to claim 3.

[Translation done.]

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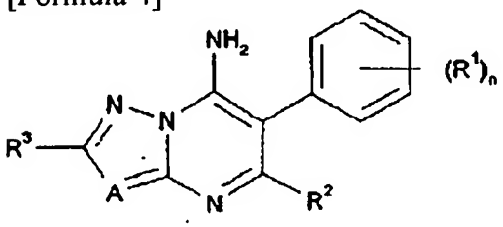
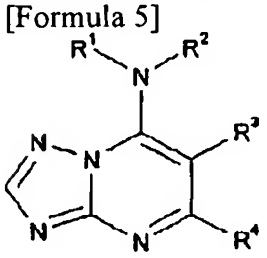
DETAILED DESCRIPTION

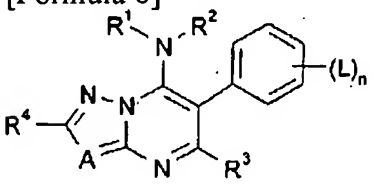
[Detailed Description of the Invention]
[0001]
[Field of the Invention] This invention relates to those use as sterilization and a ** mold agent at the approach list which prevents the fungus of the whereabouts location which comes to contain processing a whereabouts location (locus) with fixed triazolo pyrimidine compounds, those manufacture approaches, the constituent containing this compound, and this compound.
[0002]
[Background of the Invention] The Europe patent application public presentation No. 0071792 specification is a general formula [0003].
[Formula 4]



[0004] the alkyl by which, as for R1, each was permuted by a halogen or ARUKOKISHI by the case among the formula -- A halogen, alkoxy ** cyano ** cycloalkyl, aryl, aryloxy, Express arylthio, an aralkyl, arylated alkyl, aryl alkyloxy, or aryl alkylthio, or; or (R1) n Benzene, An indan or a phenyl ring, and the condensed tetrahydronaphthalene ring are expressed. Although the aromatic series part in the above-mentioned radical is permuted by alkyl, an alkoxy ** halogen, or SHIANO by the case,;n is 1 or 2,;R2 and R3 are hydrogen, alkyl, or aryl respectively,;A expresses a nitrogen atom or four CR and; and R4 are the same as that of R2 moreover, hydrogen and the alkylene chain which cyano **** may be alkoxy carbonyl, or becomes together with R3 and contains the double bond to two -- it can form -- the application for patent of the compound shown is carried out. This compound is said to be activity to the vegetable virulence fungus, especially the fungus of the Pyrenomycetes rope (Phycomycetes). However, in the case of these compounds, the proof of sterilization and ** mold activity is offered only to grape downy mildew bacillus plus MOPARA BICHIKORA (Plasmopara viticola) which is the member of Oomycetes (Oomycetes) of a fungus.
[0005] A U.S. Pat. No. 5,593,996 specification is a general formula [0006].
[Formula 5]

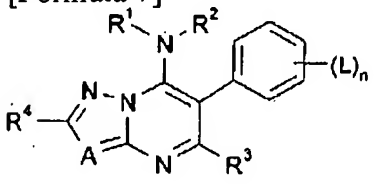


[0007] The alkyl by which R1 was permuted by the case among the formula, the alkenyl, alkadienyl, Cycloalkyl, Bicyclo alkyl or a heterocyclyl radical is expressed, and;R2 express a hydrogen atom or an alkyl group, or;, or R1 and R2 become together with the intervening nitrogen atom. By the case The permuted heterocycle type ring is expressed,;R3 express the phenyl or the naphthyl group permuted by the case, and; and R4 are a halogen atom or a radical. - NR five R6 is expressed. R5 expresses a hydrogen atom or amino, alkyl, cycloalkyl, or bicyclo alkyl here, and it comes out and R6 is carrying out the application for patent of the compound showing a hydrogen atom or an alkyl group shown.
[0008]
[Problem(s) to be Solved by the Invention and Means for Solution]
Summary this invention of invention is general formula I [0009].
[Formula 6]



(I)

[0010] The alkyl by which R1 was permuted by the case among the formula, the alkenyl, alkynyl, Alkadienyl, aryl, heteroaryl, cycloalkyl, bicyclo alkyl, or a heterocyclyl radical is expressed. Alkyl, alkenyl by which R2 was permuted by the hydrogen atom or the case, Express alkynyl, alkadienyl, aryl, heteroaryl, cycloalkyl, bicyclo alkyl, or a heterocyclyl radical, or R1 and R2 [or] Become together with the intervening nitrogen atom and the heterocycle type ring permuted by the case is expressed. R3 expresses an alkyl group and R4 expresses hydrogen, alkyl, or an aryl group. L expresses the alkyl or the alkoxy group permuted by the halogen atom or the case, A expresses ** in which R5 has the semantics R4 were indicated to be N or CR5, and here, and it comes out and n offers the compound which is the integer of 0, or 1-5 and which is shown.
[0011] A new compound has alternative sterilization and ** mold activity excellent in various crops.
[0012] It is the purpose of this invention to offer new alternative sterilization and ** mold compound.
[0013] It is also the purpose of this invention to offer the approach of preventing the fungus which is not desired by contacting sterilization and the ** mold-effective dose of a new compound for the aforementioned vegetation.
[0014] It is the one more purpose of this invention to offer the alternative sterilization and the ** mold constituent containing a compound new as an active ingredient.
[0015] These, other purposes, and the description of this invention become still clearer from the claim of the detailed explanation shown below on these specifications, and attachment.
[0016] suitable voice -- detailed **** [like] -- a surprising thing -- formula I [0017]
[Formula 7]

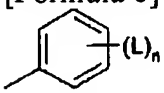


(I)

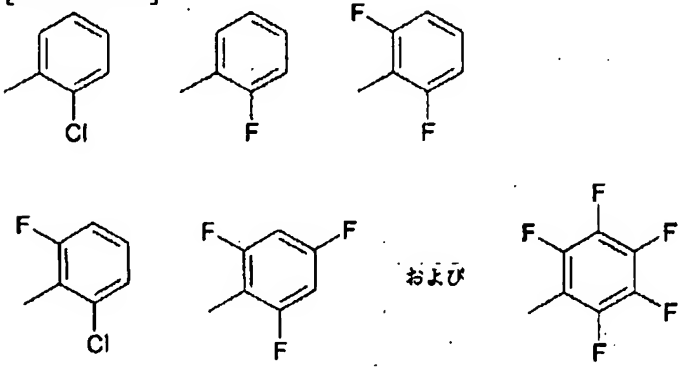
[0018] it was found out that the compound with which R1-R4, and A, L and n have among a formula the semantics Formula I was indicated to be and which is come out of and shown has the sterilization and ** mold activity which was excellent to the extensive fungus.
[0019] Unless it mentions specially, as used on these specifications, the vocabulary and a halogen atom may especially show a bromine, iodine, chlorine, or a fluorine atom, and are a bromine, chlorine, or a fluorine atom.
[0020] The part permuted by the case is unsubstituted, or may have a substituent from one to the

possible maximum number. Typically, 0-2 substituents exist.
[0021] Unless it mentions specially on these specifications, the vocabulary, alkyl, the alkenyl, alkynyl, and alkadienyl point out the shape of a straight chain, a branched-chain radical, or a part so that it may be used on these specifications about a radical or a part. Generally, especially this radical has a carbon atom to six pieces to ten pieces. suitable -- an alkyl part -- 1-6 carbon atoms -- it has 1-3 carbon atoms suitably. a suitable alkyl part -- ethyl -- or [especially] it is a methyl group. Appropriately, an alkenyl part has 2-6 carbon atoms. a suitable alkenyl part -- an allyl compound -- or [especially] it is 2-methyl allyl group.
[0022] unless it mentions specially on these specifications, the vocabulary and aryl are used on these specifications about a radical or a part -- as -- 6, 10 or 14 carbon atoms, the aryl group that has 6 or ten carbon atoms suitably especially a piece or the halogen atom beyond it, nitroglycerine, and cyano ** alkyl -- suitable -- one to C6 alkyl, and alkoxy ** -- the phenyl suitably permuted by C1-6 ARUKOKISHI by the case is pointed out.
[0023] Unless it mentions specially on these specifications, it has 5 or six ring members which were chosen from carbon, nitrogen, oxygen, and sulfur, and those at least one piece points out the heteroaryl radical which is nitrogen, oxygen, or sulfur so that the vocabulary and heteroaryl may be used on these specifications about a radical or a part.
[0024] unless it mentions specially on these specifications, the vocabulary and cycloalkyl are used on these specifications about a radical or a part -- as -- 3-8 carbon atoms, the cycloalkyl radical which has 5-7 carbon atoms suitably especially a piece or the halogen atom beyond it, nitroglycerine, and cyano ** alkyl -- suitable -- one to C6 alkyl, and alkoxy ** -- the cyclohexyl suitably permuted by C1-6 ARUKOKISHI by the case is pointed out.
[0025] Unless it mentions specially on these specifications, the vocabulary, heterocyclyl, or a heterocycle type ring It has 5 or six ring atoms which were chosen from carbon, nitrogen, oxygen, and sulfur so that it may be used on these specifications about a radical or a part. Those at least one piece One piece or the halogen atom beyond it, nitroglycerine, cyano ** alkyl -- suitable -- one to C6 alkyl, and alkoxy ** -- the nitrogen suitably permuted by C1-6 ARUKOKISHI by the case -- The saturation heterocyclyl radical which is oxygen or sulfur especially pyrrolo JINIRU, PIRAZORIJINIRU, piperidinyl one, piperazinyl one, or morpholine-4-yl is pointed out.
[0026] Especially this invention any alkyl group of the radicals R1-R4 which may be the shape of a straight chain, and branched-chain among a general formula I and a formula The carbon atom to ten pieces, The carbon atom to six pieces is contained suitable for the carbon atom to nine pieces, and a pan suitably. Any alkenyl or alkynyl section of the; substituents R1-R4 The carbon atom to ten pieces, The carbon atom to six pieces is contained suitable for the carbon atom to nine pieces, and a pan suitably. Any cycloalkyl section of the; substituents R1-R4 3-10 carbon atoms, 3-6 carbon atoms are contained suitable for 3-8 carbon atoms and a pan suitably.; and any aryl section of substituents R1-R4 6, 10, or 14 carbon atoms, Each radical which contained 6 or ten carbon atoms suitably, and was permuted by; list by the case among the formula becomes independent. One piece, the halogen atom beyond it or nitroglycerine, cyano ** alkyl, suitable -- one to C6 alkyl, and cycloalkyl -- suitable -- C -- three to 6 cycloalkyl the cyclo alkenyl -- suitable -- the C3-6 cyclo alkenyl and halo alkyl -- suitable -- C1-6 halo alkyl and halo cycloalkyl -- suitable -- C3-6 halo cycloalkyl -- alkoxy ** -- suitably, it comes out and is related with C1-6 alkoxy ** haloalkoxy and the compound which is suitably permuted by one to C6 haloalkoxy, phenyl, the halo, dihalo-phenyl, or the pyridyl radical and which is shown. Any alkyls, alkenyl, or alkynyl groups may also be the shape of a straight chain, and branched-chain. 4 - 6 member heterocycle type machine may be which heterocycle type machine with the piece or the hetero atom beyond it chosen from sulfur, nitrogen, and oxygen, and 4 - 6 ring atom suitably interrupted by oxygen. A halogen atom shows a fluorine, chlorine, or a bromine atom appropriately.
[0027] R1 especially this invention One to C10 alkyl, C1-10 halo alkyl, Three to C6 cycloalkyl, one to C3-8 cycloalkyl-C6 alkyl, One to C1-10 alkoxy-C6 alkyl or a phenyl group, especially fluorination C1-10 alkyl group are expressed. And R2 is related with the compound shown by the general formula I showing one to C10 alkyl, three to C6 cycloalkyl, one to C3-8 cycloalkyl-C6 alkyl, one to C1-10

alkoxy-C6 alkyl or a phenyl group, especially a hydrogen atom.
[0028] Phenyl group [0029]
[Formula 8]

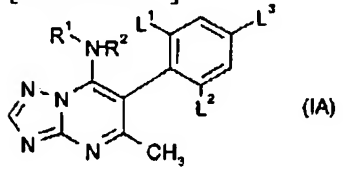


[0030] ** [0031]
[Formula 9]



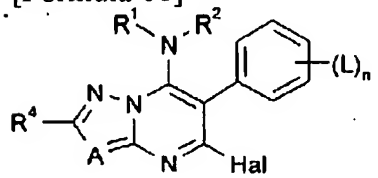
[0032] since -- especially the compound shown by the formula I chosen is suitable.
[0033] the compound by the general formula I -- an oil and rubber -- or it is the crystalline-solid matter in dominance. They are excellent with precious those sterilization and ** mold properties especially those remarkable permeability, and the remarkable toxicity for a fungus over the initial dieback of a tomato. They are set in agriculture or a related field. For example, Alternaria SORANI (Alternaria solani), BOTORICHISU KINEREA (Botrytis cinerea), cel KOSUPORA BECHIKORA (Cercospora beticola), Cladosporium HERUBARUMU (Cladosporium herbarum), COL CHIKIUMU RORUFUSHII (Corticium rolfsii), ERISHIFE Grammy varnish (Erysiphe graminis), HERUMINTOSUPORIUMU TORICHIKI REPENCHISU (Helminthosporium tritici repentis), Rep TOSUFA area NODORUMU (Leptosphaeria nodorum), Micro NEKUTORIERA NIBARISU (Micronectriella nivalis), MONIRINIA cell CHIGENA (Monilinia fructigena), MIKOSUFAERERA rig RIKORA (Mycosphaerella ligulicola), MIKOSUFAERERA Pino Thijs (Mycosphaerella pinodes), Pyricularia GURISEA f.sp. ORIZE (Pyricularia grisea f.sp.oryzae), Prevention of a vegetable virulence fungus like RIZOKUTONIA SORANI (Rhizoctonia solani) and Sclerotinia SUKURÉROCHIORUMU (Sclerotinia sclerotiorum), It can be especially used for prevention of Alternaria SORANI (Alternaria solani) and BOTORICHISU KINEREA (Botrytis cinerea). The compound shown by the general formula I by this invention has high sterilization and ** mold activity by large concentration within the limits, and it can be used for it convenient in agriculture.
[0034] Furthermore, the compound by this invention shows remarkable residual-prevention of a fungus as compared with the usual sterilization and ** mold agent.
[0035] A good result from a viewpoint of prevention of a vegetable virulence fungus R3 expresses a methyl group. R1 The shape of a straight chain, branched-chain C1-C6-alkyl, Especially Ethyl or isopropyl, C3-7-cycloalkyl, especially cyclopentyl, Shape of straight chain, branched-chain C1-C6-halo alkyl especially 2 and 2, 2-trifluoroethyl or 1 and 1, and 1-trifluoro PUROPO-2-IRU, Or the shape of a straight chain, the branched-chain C2-C6-alkenyl especially an allyl compound, or 2-methyl allyl compound is expressed. R2 expresses hydrogen or C1-C6-alkyl especially methyl, or ethyl, or and;, or R1 and R2 Become together with the intervening nitrogen atom and a heterocycle type ring with 5 or six carbon atoms which are permuted by one or two C1-C6-alkyl groups by the case is expressed. It becomes together with the nitrogen atom with which R1 and R2 intervene especially in it, the piperidine-1-IRU radical permuted by case like piperidine-1-IRU or 4-methyl piperidine-1-IRU is expressed, and;A is N. And R4 is obtained using the compound which is hydrogen and which is shown

by Formula I.
[0036] Formula IA [0037]
[Formula 10]



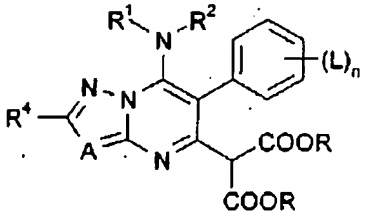
(IA)

[0038] it has the semantics of the above [R1 and R2] among a formula, and especially the compound those at least one [whose] L1, L2, and L3 express hydrogen, a fluorine, or chlorine respectively independently, and is a fluorine or chlorine and that is come out of and shown is suitable.
[0039] Especially a good result from a viewpoint of prevention of a vegetable virulence fungus For example By using the following compounds shown by Formula I :6- obtained (2-chloro-6-fluoro phenyl)-5-methyl-7- [4 [1, 2,]] triazolo [5[1 and]-a] pyrimidine;6- [(4-methyl piperidine-1-IRU)-[1, 2, 4] triazolo [1 and 5-] a] pyrimidine;6-(2-fluoro phenyl)-5-methyl-7-(4-methyl piperidine-1-IRU)- (2-chlorophenyl)-5-methyl-7- [4 [1, 2,]] triazolo [5[1 and]-a] pyrimidine;6- [(4-methyl piperidine-1-IRU)-[1, 2, 4] triazolo [1 and 5-] a] pyrimidine;6-(2-chloro-6-fluoro phenyl)-5-methyl-7-(N and N-diethylamino)- (2-chloro-6-fluoro phenyl)-5-methyl-7- [4 [1, 2,]] triazolo [5[1 and]-a] pyrimidine;6-[(N-ethylamino)-[1, 2, 4] triazolo [1 and 5-] a] pyrimidine;6-(2-chloro-6-fluoro phenyl)-5-methyl-7-(N -(2, 2, and 2-trifluoroethyl)- amino)- (2 and 6-difluoro phenyl)-5-methyl-7- [4 [1, 2,]] triazolo [5[1 and]-a] pyrimidine;6- [(4-methyl piperidine-1-IRU)-[1, 2, 4] triazolo [1 and 5-] a] pyrimidine;6-(2, 6-dichlorophenyl)-5-methyl-7-(4-methyl piperidine-1-IRU)- (2-chloro-6-fluoro phenyl)-5-methyl-7- [4 [1, 2,]] triazolo-[5[1 and]-a] pyrimidine;6- [(N-isopropylamino)-[1, 2, 4] triazolo [1 and 5-] a] pyrimidine;6-(2-chloro-6-fluoro phenyl)-5-methyl-7-(N-cyclopentylamino)- (2 and 6-difluoro phenyl)-5-methyl-7- [4 [1, 2,]] triazolo [5[1 and]-a] pyrimidine;6- a (N and N-diethylamino)-[1, 2, 4] triazolo [1 and 5-a] pyrimidine and 6-(2-chloro-6-fluoro phenyl)-5-methyl-7-(N-ethyl-N-2-methyl allyl compound-amino)- (2, 4, and 6-trifluoro phenyl)-5-methyl-7- [4 [1, 2,]] triazolo [5[1 and]-a] pyrimidine; [(4-methyl piperidine-1-IRU)-[1, 2, 4] triazolo [1 and 5-] a] pyrimidine;(2, 4, 6-trifluoro phenyl)-5-methyl-7-(piperidine-1-IRU)- (2-chloro-6-fluoro phenyl)-5-methyl-7- (Piperidine-1-IRU)-[1, 2, 4] triazolo [1, 5-a] pyrimidine; (2-fluoro phenyl)-5-methyl-7- [(Piperidine-1-IRU)-[1, 2, 4] triazolo [1 and 5-] a] pyrimidine;6-(2-chloro-6-fluoro phenyl)-5-methyl-7-(N -(1, 1, and 1-trifluoro PUROPO-2-IRU)- amino)-[1, 2, 4] triazolo [1, 5-a] pyrimidine; 6- (2 and 6-difluoro phenyl)-5-methyl-7- () [N-] [(1, 1, and 1-trifluoro PUROPO-2-IRU)-amino-[1, 2, 4] triazolo [1 and 5-] a] pyrimidine;6-(2, 4, 6-trifluoro phenyl)-5-methyl-7-(N -(1, 1, and 1-trifluoro PUROPO-2-IRU)- amino)-[-- 1 and 2 -- 4] [1 and 5-triazolo a] pyrimidine;6- (2, 4, and 6-trifluoro phenyl)-5-methyl-7- (-- N -- N - diethylamino --) - [-- one -- two -- four --] -- triazolo -- [-- one -- five - a --] -- a pyrimidine --; -- six - (2, 4, 6-trifluoro phenyl) - five - methyl - seven - (N-ethylamino) - [-- one -- two -- four --] -- triazolo -- [-- one -- five - a --] -- a pyrimidine .
[0040] This invention is the (a) type II [0041] further.
[Formula 11]



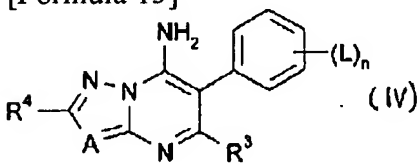
(II)

[0042] It is dialkyl malonate, the 5-halo-AZORO pyrimidine shown by (A, R1, R2, R4, L, and n have among a formula the semantics Formula I was indicated to be) is processed under existence of a base, and it is the (b) type III [0043].
[Formula 12]



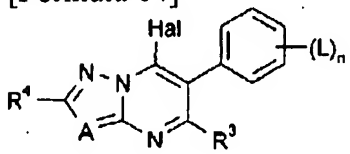
(III)

[0044] R3 which comes to contain what the obtained amino AZORO pyrimidine-5-IRUMARON acid ester which is shown by (R1, R2, R4, A, L, and n have semantics according to claim 1 among a formula, and R expresses an alkyl group) is heated for under existence of an acid offers the manufacture approach of the compound shown by the formula I showing a methyl group.
[0045] Furthermore, the compound shown by Formula I is Formula IV [0046] again.
[Formula 13]



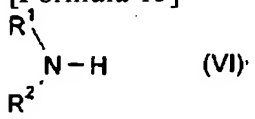
(IV)

[0047] It may be manufactured from 7-amino triazolo pyrimidine shown by (R3, R4, A, L, and n have semantics given in a claim among a formula), it is processed with a halogenating agent under existence of a diazotation agent, and it is general formula V [0048].
[Formula 14]



(V)

[0049] It is a general formula VI [0050] about the obtained compound which is shown by (R3, R4, A, L, and n are as above-mentioned among a formula, and Hal expresses chlorine or a bromine atom).
[Formula 15]



(VI)

[0051] It processes by the amine shown by (the inside of a formula, and R1 and R2 are as above-mentioned).
[0052] The compound shown by Formula IV is known from for example, the Europe patent No. 0071792 specification.
[0053] The reaction of the 5-halo 7-amino-6-phenyl-triazolo pyrimidine (it is the known from a U.S. Pat. No. 5,593,966 specification) and malonic-acid alkyl which are shown by Formula II is performed under existence of a solvent for convenience. The hydrocarbon halogenated as a suitable solvent by case like dioxane, diethylether and ether; mineral oil like especially a tetrahydrofuran, and dichloromethane; the mixture of nitril; like aromatic hydrocarbon, for example, a toluene; acetonitrile, or these solvents is mentioned to a list. A reaction is appropriately performed at the temperature within the limits of 0 degree C - 100 degrees C, and suitable reaction temperature is 20 degrees C - 70 degrees C. It is also suitable that a reaction is performed under existence of a strong base. An amide like a hydride like sodium hydride, an organometallic compound like butyl lithium and sodium amide, or a lithium diisopropyl amide as a suitable strong base is mentioned.
[0054] It was found out that the compound shown by Formula I has sterilization and ** mold activity. Therefore, this invention offers the sterilization and the ** mold constituent which comes at least to contain the active ingredient which is a kind of compound shown by the further above-mentioned

formula I and a kind, or the support beyond it. The manufacture approach of this constituent that comes to contain making the compound shown by the above-mentioned formula I join with support is also offered. This constituent may contain the mixture of the single active ingredient of this invention, or several sorts of active ingredients. The mixture of various isomers or an isomer may have various levels or the activity of a spectrum, therefore it is also considered that a constituent may come to contain the mixture of each isomer or an isomer.

[0055] The constituent by this invention contains 0.5% - 95 w/w% of active ingredient suitably.

[0056] The support in the constituent by this invention is one which an active ingredient is pharmaceutical-preparation-ized, makes easy application in the whereabouts location which may be vegetation, a seed, or soil, and which is processed, or makes storage, transportation, or handling easy of matter. Support may be a solid-state or a liquid including the matter which was pressurized although it was not usually a gas, and formed the liquid.

[0057] A constituent may be manufactured by the operation information well established by an emulsion, a solution agent, a water middle oil emulsion, water dispersible powder, water soluble powders, suspension pharmaceutical preparation, powder material, a granule, a water-dispersion granule, a microcapsule agent, gel, and other pharmaceutical preparation molds. Such operation information includes powerful mixing with other matter like a solid-state, a liquid adjuvant, and/or an adjuvant, and/or grinding by an active ingredient, an extending agent and a solvent, the solid support, the surface activity compound (surface active agent), and the case. The gestalt of use like an atomizing process, the atomizing method, a variational method, and the pouring-in method may be chosen like a constituent according to the desired purpose and the desired condition of having been given.

[0058] A solvent Aromatic hydrocarbon (trademark) 200, for example, Solvesso, permutation naphthalene, Dibutyl phtalate or phthalic ester like a dioctyl phthalate, In aliphatic hydrocarbon, for example, a cyclohexane, or paraffin, alcohol, and a glycol list, those ether and ester, For example, ethanol and ethylene glycol monochrome - and wood ether, A ketone like a cyclohexane, a N-methyl-2-pyrrolidone, or a strong polar solvent like a butyrolactone, You may be water at a high-class alkyl pyrrolidone, for example, n-octyl pyrrolidone, or a cyclohexyl pyrrolidone, epoxidizing vegetable oil ester, for example, methylation coconut oil, or a soybean-oil ester list. It is common for the mixture of various liquids to be suitable.

[0059] The solid supports which may be used for powder material, water dispersible powder, a water-dispersion granule, or a granule may be a calcite, talc, a kaolin, a mono-MORIRO night, and a mineral extending agent like ata PAL GYAITO. A physical property adds the silica gel or the polymer distributed by altitude, and may be improved. The support for a granule may be the porous matter, for example, a pumice, a kaolin, a sepiolite, and a bentonite, and non-adsorbent support may be a calcite or sand. Additionally, inorganic or the organic substance with which the varieties like a dolomite or the crushed vegetable residue were front-granulated may be used.

[0060] A ********** constituent is manufactured and conveyed to the thick gestalt diluted by the user before use in many cases. If the small quantity of the support which is a surfactant exists, this dilution process will become easy. Therefore, at least one sort of support in the constituent by this invention is surfactants suitably. For example, a constituent may contain two sorts or the support beyond it, and those at least one sort is a surface active agent.

[0061] A surfactant may be nonionic [which has good dispersibility, emulsifiability and a humidification nature property depending on the property of the compound shown by the general formula I manufactured], anionic, cationicity, or ampholite. A surfactant may also mean the mixture of each surfactant again.

[0062] The constituent of this invention may be manufactured as water dispersible powder, water-dispersion powder material, powder material, a granule, a solution agent, an emulsion, an opacifier, suspension pharmaceutical preparation, and a haze agent. 5 - 90 w/w% of active ingredient is contained, and usually it accepts to 3 - 10 w/w% of a dispersant and a humidification agent out of a solid-state inert carrier, it accepts the need at a list, and water dispersible powder contains 0 - 10 w/w% of stabilizing agent and/or a penetrating agent, or other additives like a binder. Although it usually has the same

presentation as water dispersible powder, medicine is manufactured as a thick powder material which does not have a dispersant, and powder material is good also as a constituent which is further diluted with a solid support out in the fields, and usually contains 0.5-10 w/w% of active ingredient. A water-dispersion granule and a granule may usually be manufactured so that it may have the particle size of 0.15mm - 2.0mm, and they may be manufactured by the technique of varieties. Generally, these kinds of granules contain 0.5 - 90 w/w% of active ingredient and 0 - 20 w/w% of stabilizing agent, a surfactant, a gradual release modifier, and an additive like a binder. The so-called "desiccation floor BURIRU powder" consists of comparatively small granulation which has the active ingredient of comparatively high concentration. An emulsion usually contains other additives like 1 - 80 w/v% of active ingredient, 2 - 20 w/v% of emulsifier and 0 - 20 w/v% of stabilizing agent, a penetrating agent, and a corrosion inhibition agent besides the mixture of a solvent or a solvent. Suspension pharmaceutical preparation is ground so that a stable un-sedimenting nature floor bull agent may usually be obtained. Usually And 5 - 75 w/v% of active ingredient, 0.5 - 15 w/v% of dispersant, 0.1 - 10 w/v% of protective colloid, and a suspending agent like a thixotropy agent, 0 - 10 w/v% of defoaming agent, a corrosion inhibition agent, a stabilizing agent, a penetrating agent, and other additives like a binder, Water or an active ingredient contains an insoluble organic liquid substantially in a list, and the organic solid-state or mineral salt of; regularity may dissolve and exist in pharmaceutical preparation as an antifreezing agent to water in order to support sedimentation and prevention of crystallization.

[0063] The constituent which dilutes water nature powder and an opacifier, for example, the pharmaceutical preparation by this invention, with water, and is obtained is also within the limits of this invention.

[0064] The thing which makes interest cause especially in case the duration of the protection activity of the compound by this invention is raised is use of the support which offers gradual release of the ********** compound to the inside of the environment of the vegetation which should be protected.

[0065] The biological activity of an active ingredient can be reinforced by including an adjuvant in a spraying diluent again. On these specifications, although an adjuvant reinforces the biological activity of an active ingredient, it is notably defined as the matter which is not activity biologically in itself. An adjuvant can be added on a spraying tank together with the pharmaceutical preparation which is included in pharmaceutical preparation as a ******-ized agent (coformulant) or support, or contains an active ingredient.

[0066] As goods, a constituent may be a thick gestalt suitably and, generally another side and an end user use a dilution constituent. A constituent may be diluted by the concentration of the active ingredient to 0.001%. A dose is usually 0.01-10kg. It is within the limits of a.i./ha.

[0067] The example of the pharmaceutical preparation by this invention is as follows. : Emulsion (EC) active ingredient Compound of an example 1 30% (w/v)
Emulsifier Atlox(trademark) 4856B/ 5% (w/v)
4858BAtlox(trademark)1 solvent Shellsol(trademark) A2 Whole quantity 1000ml Suspension pharmaceutical preparation (SC) active ingredient Compound of an example 1 50% (w/v)
Decentralization agent Soprophor(trademark) floor line3 3% (w/v)
Defoaming agent Rhodorsil4223 (trademark) 0.2% (w/v)
Structure agent Kelzan(trademark) S4 5% (w/v)
Germicide Proxel5 (trademark) 0.1% (w/v)
Water Whole quantity 1000ml Water-dispersible-powder (WP) active ingredient Compound of an example 1 60% (w/w)
Humidification agent Atlox49951 (trademark) 2% (w/w)
Decentralization agent Witcosperse (trademark) 3% (w/w)
D-606) Support/extending agent Kaolin 35% (w/w)
Water-dispersion granule (WG) active ingredient Compound of an example 1 50% (w/w)
Decentralization agent/ Witcosperse (trademark) 8% (w/w)
Binder D-4506 Humidification agent Morwet(trademark) EFW6 2% (w/w)
Defoaming agent Rhodorsil(trademark) EP67033 1% (w/w)

Disintegrator Agrimer(trademark) ATF7 2% (w/w)
Support/extending agent Kaolin 35% (w/w)
The bridging homopolymer Atlox(trademark) 4856 B/Atlox(trademark) 4858B1 alkylarylsulfonic-acid calcium of a name identity Agrimer(trademark) ATF7N-vinyl-2-pyrrolidone, The mixture / alkylarylsulfonic acid calcium containing a fatty alcohol ethoxy rate and **********, A fatty alcohol ethoxy rate and ********** The mixture Atlox to contain (Trademark) 49951 polyoxyethylene-alkyl-ether Kelzan(trademark) S4 xanthan gum Morwet(trademark) EFW6 formaldehyde condensate propylene glycol Proxel(trademark) 520%1 and 2-BENISO thiazoline-3-ON The dipropylene glycol water solution Rhodorsil to contain (Trademark) 4223 poly dimethylsiloxane -- un--- ion aquosity emulsion Rhodorsil(trademark) EP67033 encapsulation silicone Shellsol(trademark) A2C9-C10 aromatic hydrocarbon mixture Soprophor The sodium salt of a floor line3 polyoxyethylene PORIARIRU phenyl ether phosphoric-acid amine salt Witcosperse(trademark) D-4506 condensation naphthalene sulfonic acid, and the mixture Witcosperse of alkyl sulfonate (Trademark) (Trademark) the sodium salt of a D-606 condensation naphthalene sulfonic acid, and mixture 1 of alkyl aryl polyoxy acetate ICI available from Surfactants -- 2 Deutsche Shell available from AG -- 3 available from Rhone-Poulenc -- 4 Kelco available from Co. company -- 5 available from Zeneca -- 6 available from Witco -- 7 International Speciality From Products the constituent of available this invention again other compounds which have biological activity -- for example, the same -- again -- ** -- it becomes impossible to contain the compound which has the compound which has additional sterilization and ** mold activity or plant growth accommodative, weeding-out nature, or insecticidal activity
[0068] Such mixture of sterilization and a ** mold agent can have a spectrum also with the large compound independent twist shown by the general formula I. Furthermore, other sterilization and ** mold agents can have the synergistic effect with sterilization and the ** mold activity of the compound shown by the general formula I.
[0069] the example of other sterilization and ** mold compounds -- anilazine and AZOKI cis- -- fatty tuna -- a bottle -- BENARAKISHIRU, BENOMIRU, binapacryl, Bitertanol, blasticidin S, The Bordeaux mixture, BUROMOKONAZORU, a BUPIRI mart, captafol, Captan, cull vendor gin, carboxin, cull pro PAMIDO, KURORUBENZOCHIAZON, A copper content compound like chlorothalonil, clo ZORINATO, oxysalt-ized copper, and a copper sulfate, A cycloheximide, cymoxanil, the SHIPRO furan, cyproconazole, SHIPUROJINIRU, a JIKURO full amide, dichlone, JIKURORAN, JIKUROBUTORAZORU, JIKUROSHIMETTO, dichlomedin, SHIETOFENKARUBU, JIFENOKONAZORU, A JIFURUME trim, dimethirimol, a JIMETO morph, diniconazol, JINOKAPPU, JITARIMUFOSU, dithianon, DODEMORUFU, DOJIN, EJIFENHOSU, Epoxyconazole, etaconazole, ethirimol, ETORI diazole, FAMOKISADON, FENAPANIRU, fenarimol, fenbuconazole, The Foehn furan, FENHEKISAMIDO, fenpiclonil, FEN pro pidgin, A FEMPUROPI morph, Foehn Ching, acetic-acid Foehn Ching, hydroxylation Foehn Ching, Ferimzone, fluazinam, full dioxo nil, full METOBERU, full Cucumaria NAZORU, Flusilazole, full sulfamide, flutolanil, a full thoria fall, Folpet, aluminum tris (ethoxyphosphinate), fuberidazole, furalaxyl, FURAME tolyl, guazatine, hexa kona ZORU, imazalil, imino KUTAJIN, Ipconazole, ZORU, iprodione, isoprothiolane, kasugamycin, KITAJIN P, kresoxim-methyl, MANKOZEBU, maneb, MEPANIPIRIMU, MEPURONIRU, metalaxyl, meta-kona ZORU, METOFUROKISAMU, micro swine nil, Neo ASOJIN, dimethyl dithiocarbamic acid nickel, nit ROTARU isopropyl, A NUARI mall, an off lath, organomercury compounds, oxadixyl, OKISAMOKARUBU, Penconazole, the Benxi kuron, phenazine oxide, phthalide, polyoxin D, Pori Lamb, Probenazole, pro KURORAZU, procymidone, pro PAMOKARUBU, Propiconazole, propineb, PIRAZOHOSU, pyrifenox, pilus meta-nil, Pyroquilon, PIROKISHIFURU, Chinomethionate, kino KISHIFEN, quintozene, SUPIROKISAMIN, SSF-126, SSF-129, streptomycin, Sulfur, TEBEKONAZORU, tecloftalam, tecnazen, tetraconazole, Thiabendazole, CHIFURUZAMIDO, thiophanate-methyl, CHIRAN, Tolclofos-methyl, truffe RUANIDO, thoria JIMEFON, triazimenol, Thoria ZUBUCHIRU, triazoxide, tricyclazole, tridemorph, triflumizole, triforine, triticonazole, validamycin They are A, vincrozoline, XRD-563, ZARIRAMIDO, a zineb, and ziram.
[0070] Furthermore, ****** (co-formulation) by this invention may contain either the biological

prevention agent of the following classes suitable for preventing an insect, weeds, or a vegetable disease in a kind of compound [at least] list shown by Formula I, or inducing host resistance in vegetation, for example, a virus, bacteria, a nematode, a fungus and other microorganisms. the example of this biological prevention agent -- bacillus CHU -- a ringgit -- en cis- (Bacillus thuringiensis) -- Bell CHIKIRIUMU REKANII (Verticillium lecanii), Out GURAFIKA KARIHORUNIKA NPV (Autographica californica NPV), Baud BERIA BASHIANA (Beauvaria bassiana), AMPERO Mrs. KISUKU Alice (Ampelomyces quisqualis), A Bacillus subtilis (Bacillus subtilis), a SHUDOMONASU fluorescence (Pseudomonas fluorescens), They are Streptomyces GURISEOBIRIJISU (Streptomyces griseoviridis) and Trichoderma hull JIANUMU (Trichoderma harzianum).

[0071] Furthermore, the pharmaceutical preparation by this invention may contain the chemical agent which induces generalized acquired resistance in nicotinic-acids or those derivative, 2, and 2-dichloro - 3, 3-dimethyl cyclo propyl carboxylic acid, or vegetation like BION in a kind of compound [at least] list shown by Formula I.

[0072] The compound shown by the general formula I is mixable with the soil for protecting vegetation from a seed-borne disease, a soil borne disease, or forage fungal diseases, peat, or other rooting media.

[0073] The use as sterilization and a ** mold agent of the compound or the above-mentioned constituent shown by the general formula I of more nearly further the above [this invention], Whether it is easy to receive the attack of a fungus in a list or the seed of carrier beam vegetation and this vegetation or this vegetation is growing or the whereabouts location which may be the medium which is to be grown The approach of preventing the fungus of the whereabouts location which may come to contain processing with this compound or constituent is offered.

[0074] This invention has large applicability, although crops and a decorative plant are protected from the attack of a fungus. As typical crops which may be protected, a vine thing, grain like wheat and a barley, a rice, a sugarbeet, top fruits (top fruit), a peanut, a potato, and a tomato are mentioned. It usually depends for the duration of a protective action on each selected compound and various external factors like the climate where the impact is mitigated by use of usually suitable pharmaceutical preparation.

[0075] The following examples explain this invention further. However, it should be understood that this invention is not what is limited only to the following specific example.

[0076]

[Example]

Example 16- (2-chloro-6-fluoro phenyl)-5-methyl-7- (4-methyl piperidine-1-IRU)-[1, 2, 4]-triazolo [1, 5a] pyrimidine 1A [6-(2-chloro-6-fluoro phenyl)-7-(4-methyl piperidine-1-IRU)- 1, 2, and 4-triazolo [1 - - 5a] pyrimidine-5-IRU]-diethyl-malonate sodium hydride (0.27g of 50% dispersion liquid in mineral oil, 5.65 millimol) is added to a diethyl malonate (20ml). Mixture is diluted with an acetonitrile and a 6-(2-chloro-6-fluoro phenyl)-5-chloro-7-(4-methyl piperidine-1-IRU)-[1, 2, 4]-triazolo [1,a [5]] pyrimidine (2.0g, 4.71 millimol which were obtained according to the U.S. Pat. No. 5,593,996 specification) is added. A reaction mixture is heated to 60 degrees C, and is agitated for 20 hours. An ammonium-chloride water solution (50ml) is added, and mixture is acidified with dilute hydrochloric acid. Ethyl acetate (3x50ml) extracts a reaction mixture. The organic phase which joined is dried and condensed. A column chromatography (a silica, toluene: ethyl acetate, 9:1) refines residue. A pure product is obtained as a tongue (tan) crystal (0.95g) of the 162 to 163 degree C melting point.

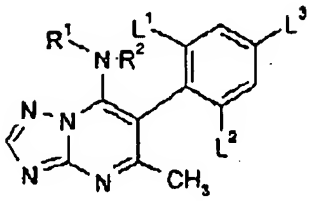
[0077] 1B Heat the mixture of 6-(2-chloro-6-fluoro phenyl)-5-methyl-7-(4-methyl piperidine-1-IRU)-[1, 2, 4]-triazolo [1,a [5]] pyrimidine 1A (0.5g, 1 millimol) and concentrated hydrochloric acid at 80 degrees C for 24 hours. A reaction mixture is cooled and pH is adjusted to 5 by addition of a sodium-hydroxide water solution. Ethyl acetate extracts a reaction mixture. The organic phase which joined is dried and condensed. A column chromatography (a silica, toluene: ethyl acetate, 2:1) refines residue. A pure product is obtained as a tongue (tan) crystal (0.27g) of the 177 to 178 degree C melting point.

[0078] A two to 22 or less-example example (Table 1; structure and melting point) is compounded like an example 1.

[0079]

[Table 1]

表 I



実施例	R^1	R^2	L^1	L^2	L^3	融点(℃)
2	-$(CH_2)_2$-CH(CH_3)-$(CH_2)_2$-		F	H	H	197-199
3	-$(CH_2)_2$-CH(CH_3)-$(CH_2)_2$-		Cl	H	H	201-202
4	C_2H_5-	C_2H_5-	F	Cl	H	147-148
5	C_2H_5-	H	F	Cl	H	140-141
6	F_3C-CH_2-	H	F	Cl	H	
7	-$(CH_2)_2$-CH(CH_3)-$(CH_2)_2$-		F	F	H	
8	-$(CH_2)_2$-CH(CH_3)-$(CH_2)_2$-		Cl	Cl	H	
9	2-propyl	H	F	Cl	H	
10	cyclopentyl	H	F	Cl	H	
11	C_2H_5-	C_2H_5-	F	F	H	
12	CH_2=C(CH_3)-CH_2-	C_2H_5-	F	Cl	H	
13	-$(CH_2)_2$-CH(CH_3)-$(CH_2)_2$-		F	F	F	
14	-$(CH_2)_5$-		F	F	F	
15	-$(CH_2)_5$-		F	Cl	H	
16	-$(CH_2)_5$-		F	H	H	
17	-$(CH_2)_5$-		F	F	H	
18	1,1,1-トリフルオロプロポ-2-イル	H	F	Cl	H	
19	1,1,1-トリフルオロプロポ-2-イル	H	F	F	H	
20	1,1,1-トリフルオロプロポ-2-イル	H	F	F	F	
21	C_2H_5-	C_2H_5-	F	F	F	
22	C_2H_5-	H	F	F	F	

[0080] The decision ED>90(Effective Dosis(effective dosage) > 90%)-value of the effective dosage for the rejection exceeding 90% with the trial compound in the sequence dilution test method of the vegetable virulence fungus which is biological research versatility is determined by the sequence dilution test method which uses a Microtiter plate with 24 per plate, or 48 wells. Dilution of the trial compound in a nutrition solution and the distribution to a well are TECAN. RSP 5000 RoboticSample Processor performs. : which uses the concentration of the following trial compounds -- 0.05, 0.10, 0.20, 0.39, 0.78, 1.56, 3.13, 6.25, 12.50, 25.00 and 50.00, and 100.00microg/ml For preparation of a nutrition solution, it mixes with a calcium carbonate (4.95g), and centrifugal separation of the V8 vegetable juice (333ml) is carried out, supernatant liquor (200ml) is diluted with water (800ml), and an autoclave is carried out for 30 minutes at 121 degrees C.

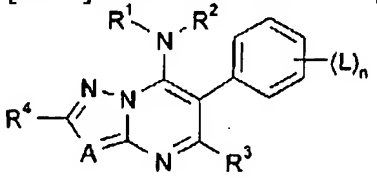
[0081] each inoculum (SORANI (Alternaria solani) Cercospora leaf spot bacillus Alternaria -)

ALTESO; Gray mold contagion BOTORICHISU KINEREA (Botrytis cinerea), BOTRICI; Leptosphaeria nodorum bacillus rep TOSUFA area NODORUMU (Leptosphaeria nodorum), LEPTNO; The Phytophthora FITOFUTORA in festival wardrobe (Phytophthora infestans), PHYTIN; Pyrenophora teres bacillus pyrenophora TERESU (Pyrenophorateres), PYRNTE; Rhizoctonia solani RIZOKUTONIA SORANI (Rhizoctonia solani) and RHIZSO -- as the agar slice (6mm) of the spore suspension (50ml, 5x105-/ml) of a fungus, or the agar culture of a fungus -- a well -- it adds to inside.
[0082] After cultivating for six - 12 days at suitable temperature (18 to 25 degree C), the visual inspection of a plate determines ED>90 value. The least concentration of the dilution sequence by which less than 10% of mycelium growth is observed is specified as ED>90 value (table II;n.t. = it does not examine).
[0083]
[Table 2]

表 1 1

実施例番号	ALTESO	BOTRCI	LEPTNO	PHYTIN	PYRNTE	RHISZO
1	0.2	0.78	1.56	n.t.	0.78	50
2	3.13	12.5	n.t.	100	100	n.t.
3	0.78	1.56	n.t.	n.t.	100	n.t.
4	25	n.t.	n.t.	n.t.	n.t.	3.13
6	50	25	n.t.	100	n.t.	50

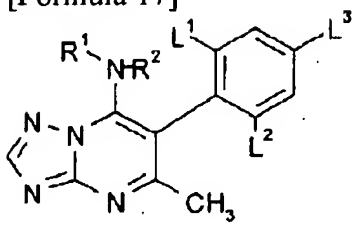
[0084] In addition, the main description and main mode of this invention are indicated below.
[0085] 1. General formula I [0086]
 [Formula 16]



(I)

[0087] The alkyl by which R1 was permuted by the case among the formula, the alkenyl, alkynyl, Alkadienyl, aryl, heteroaryl, cycloalkyl, bicyclo alkyl, or a heterocyclyl radical is expressed. Alkyl, alkenyl by which R2 was permuted by the hydrogen atom or the case, Express alkynyl, alkadienyl, aryl, heteroaryl, cycloalkyl, bicyclo alkyl, or a heterocyclyl radical, or R1 and R2 [or] Become together with the intervening nitrogen atom and the heterocycle type ring permuted by the case is expressed. R3 expresses an alkyl group and R4 expresses hydrogen, alkyl, or an aryl group. the compound whose n L expresses the alkyl or the alkoxy group permuted by the halogen atom or the case, and A expresses ** in which R5 has the semantics R4 were indicated to be N or CR5, and here, and is the integer of 0, or 1-5 and which is come out of and shown.
[0088] 2. Compound given in the above 1 whose R3 expresses methyl group.
[0089] R1 3. Shape of Straight Chain, Branched-chain C1-C6-Alkyl, C3-7-Cycloalkyl, The shape of a straight chain, branched-chain C1-C6-halo alkyl or the shape of a straight chain, and the branched-chain C2-C6-alkenyl are expressed. R2 expresses hydrogen or C1-C6-alkyl, or and R1 and R2 [or] A compound given in the above 1 or 2 which becomes together with an adjoining nitrogen atom and expresses a heterocycle type ring with 5 or six carbon atoms which are permuted by one or two C1-C6-alkyl groups by the case.
[0090] 4. Compound given in either of the above 1-3 whose A is N and whose R4 is hydrogen.
[0091] 5. Compound given in either of the above 1-4 which R1 and R2 become together with adjoining nitrogen atom, and expresses heterocycle type machine chosen from piperidine-1-IRU and 4-methyl piperidine-1-IRU.
[0092] 6. Formula IA [0093]

[Formula 17]



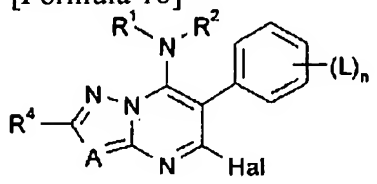
(IA)

[0094] a compound given in either of the above 1-5 come out of and shown those at least one [whose] it has the semantics of the above [R1 and R2] among a formula, and L1, L2, and L3 express hydrogen, a fluorine, or chlorine respectively independently, and is a fluorine or chlorine.

[0095] 7. Compound:6- of Following Shown by Formula I (2-chloro-6-fluoro phenyl)-5-methyl-7- [4 [1, 2,]] triazolo [5[1 and]-a]-pyrimidine;6- [(4-methyl piperidine-1-IRU)-[1, 2, 4] triazolo [1 and 5-] a] pyrimidine;6-(2-fluoro phenyl)-5-methyl-7-(4-methyl piperidine-1-IRU)- (2-chlorophenyl)-5-methyl-7- [4 [1, 2,]] triazolo [5[1 and]-a]-pyrimidine;6- [(4-methyl piperidine-1-IRU)-[1, 2, 4] triazolo [1 and 5-] a]-pyrimidine;6-(2-chloro-6-fluoro phenyl)-5-methyl-7-(N and N-diethylamino)- (2-chloro-6-fluoro phenyl)-5-methyl-7- [4 [1, 2,]] triazolo [5[1 and]-a] pyrimidine;6- [(N-ethylamino)-[1, 2, 4] triazolo [1 and 5-] a]-pyrimidine;6-(2-chloro-6-fluoro phenyl)-5-methyl-7-(N -(2, 2, and 2-trifluoroethyl)-amino)- (2 and 6-difluoro phenyl)-5-methyl-7- [4 [1, 2,]] triazolo [5[1 and]-a]-pyrimidine;6- [(4-methyl piperidine-1-IRU)-[1, 2, 4] triazolo [1 and 5-] a]-pyrimidine;6-(2, 6-dichlorophenyl)-5-methyl-7-(4-methyl piperidine-1-IRU)- (2-chloro-6-fluoro phenyl)-5-methyl-7- [4 [1, 2,]] triazolo-[5[1 and]-a] pyrimidine;6- [(N-isopropylamino)-[1, 2, 4] triazolo [1 and 5-] a]-pyrimidine;6-(2-chloro-6-fluoro phenyl)-5-methyl-7-(N-cyclopentylamino)- (2 and 6-difluoro phenyl)-5-methyl-7- [4 [1, 2,]] triazolo [5 [1 and]-a] pyrimidine;6- [(N and N-diethylamino)-[1, 2, 4] triazolo [1 and 5-] a]-pyrimidine;6-(2-chloro-6-fluoro phenyl)-5-methyl-7-(N-ethyl-N-2-methyl allyl compound-amino)- (2, 4, and 6-trifluoro phenyl)-5-methyl-7- [4 [1, 2,]] triazolo [5[1 and]-a] pyrimidine; [(4-methyl piperidine-1-IRU)-[1, 2, 4] triazolo [1 and 5-] a]-pyrimidine;(2, 4, 6-trifluoro phenyl)-5-methyl-7-(piperidine-1-IRU)- (2-chloro-6-fluoro phenyl)-5-methyl-7- (Piperidine-1-IRU)-[1, 2, 4] triazolo [1, 5-a]-pyrimidine; (2-fluoro phenyl)-5-methyl-7- [(Piperidine-1-IRU)-[1, 2, 4] triazolo [1 and 5-] a] pyrimidine;6-(2-chloro-6-fluoro phenyl)-5-methyl-7-(N -(1, 1, and 1-trifluoro PUROPO-2-IRU)- amino)-[1, 2, 4] triazolo [1, 5-a] pyrimidine; 6- (2 and 6-difluoro phenyl)-5-methyl-7- () [N-] [(1, 1, and 1-trifluoro PUROPO-2-IRU)-amino-[1, 2, 4] triazolo [1 and 5-] a] pyrimidine;6-(2, 4, 6-trifluoro phenyl)-5-methyl-7-(N -(1, 1, and 1-trifluoro PUROPO-2-IRU)- amino)-[-- 1 and 2 -- 4] [1 and 5-triazolo a] pyrimidine;6- (2, 4, and 6-trifluoro phenyl)-5-methyl-7- (-- N -- N - diethylamino --) - [-- one -- two -- four --] -- triazolo -- [-- one -- five - a --] - a pyrimidine --; -- six - (2, 4, 6-trifluoro phenyl) - five - methyl - seven - (N-ethylamino) - [-- one -- two -- four --] -- triazolo -- [-- one -- five - a --] -- a pyrimidine .

[0096] 8.(a) Formula II [0097]

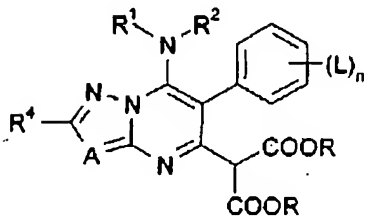
[Formula 18]



(II)

[0098] It is malonic-acid alkyl, the 5-halo-AZORO pyrimidine shown by (A, R1, R2, R4, L, and n have among a formula the semantics Formula I was indicated to be, and Hal expresses a halogen atom) is processed under existence of a base, and it is the (b) type III [0099].

[Formula 19]



(III)

[0100] It is the manufacture approach of the compound shown by the formula I to which R3 which comes to contain what the obtained amino AZORO pyrimidine-5-IRUMARON acid ester which is shown by (R1, R2, R4, A, L, and n have the semantics of a publication in the above 1-7 among a formula, and R expresses an alkyl group) is heated for under existence of an acid expresses a methyl group.
[0101] 9. Compound shown by the formula III to which R, R1, R2, R4, A, L, and n have the semantics of a publication in the above 1-8.
[0102] 10. Support, and the sterilization and the ** mold constituent which come at least to contain a kind of compound shown in any 1 term of the above 1-7 by the formula I of a publication as an activator.
[0103] 11. How to prevent the fungus of the whereabouts location which comes to contain processing with the compound shown by the formula I given [a whereabouts location (locus)] in any 1 term of the above 1-7, or a constituent given in the above 10.
[0104] 12. Use as sterilization and a ** mold agent of the compound shown in either of the above 1-7 by the formula I of a publication, or a constituent given in the above 10.

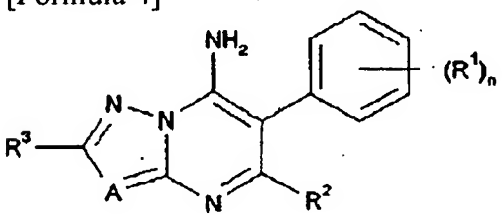
[Translation done.]

* NOTICES *

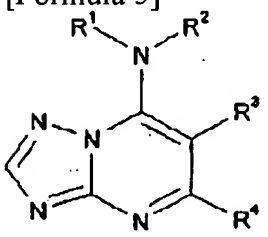
1.This document has been translated by computer. So the translation may not reflect the original precisely.
2.**** shows the word which can not be translated.
3.In the drawings, any words are not translated.

TECHNICAL FIELD

[Field of the Invention] This invention relates to those use as sterilization and a ** mold agent at the approach list which prevents the fungus of the whereabouts location which comes to contain processing a whereabouts location (locus) with fixed triazolo pyrimidine compounds, those manufacture approaches, the constituent containing this compound, and this compound.
[0002]
[Background of the Invention] The Europe patent application public presentation No. 0071792 specification is a general formula [0003].
[Formula 4]



[0004] the alkyl by which, as for R1, each was permuted by a halogen or ARUKOKISHI by the case among the formula -- A halogen, alkoxy ** cyano ** cycloalkyl, aryl, aryloxy, Express arylthio, an aralkyl, arylated alkyl, aryl alkyloxy, or aryl alkylthio, or; or (R1) n Benzene, An indan or a phenyl ring, and the condensed tetrahydronaphthalene ring are expressed. Although the aromatic series part in the above-mentioned radical is permuted by alkyl, an alkoxy ** halogen, or SHIANO by the case,;n is 1 or 2,;R2 and R3 are hydrogen, alkyl, or aryl respectively,;A expresses a nitrogen atom or four CR and; and R4 are the same as that of R2 moreover, hydrogen and the alkylene chain which cyano **** may be alkoxy carbonyl, or becomes together with R3 and contains the double bond to two -- it can form -- the application for patent of the compound shown is carried out. This compound is said to be activity to the vegetable virulence fungus, especially the fungus of the Pyrenomycetes rope (Phycomycetes). However, in the case of these compounds, the proof of sterilization and ** mold activity is offered only to grape downy mildew bacillus plus MOPARA BICHIKORA (Plasmopara viticola) which is the member of Oomycetes (Oomycetes) of a fungus.
[0005] A U.S. Pat. No. 5,593,996 specification is a general formula [0006].
[Formula 5]



[0007] The alkyl by which R1 was permuted by the case among the formula, the alkenyl, alkadienyl,

Cycloalkyl, Bicyclo alkyl or a heterocyclyl radical is expressed, and;R2 express a hydrogen atom or an alkyl group, or;, or R1 and R2 become together with the intervening nitrogen atom. By the case The permuted heterocycle type ring is expressed,;R3 express the phenyl or the naphthyl group permuted by the case, and; and R4 are a halogen atom or a radical. - NR five R6 is expressed. R5 expresses a hydrogen atom or amino, alkyl, cycloalkyl, or bicyclo alkyl here, and it comes out and R6 is carrying out the application for patent of the compound showing a hydrogen atom or an alkyl group shown.

[Translation done.]

* NOTICES *

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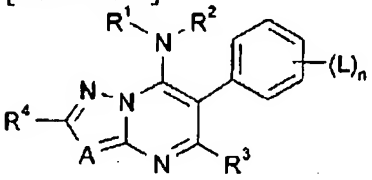
2.**** shows the word which can not be translated.
3.In the drawings, any words are not translated.

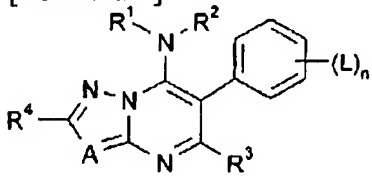
MEANS

[Problem(s) to be Solved by the Invention and Means for Solution]
Summary this invention of invention is general formula I [0009].
[Formula 6]



(I)

[0010] The alkyl by which R1 was permuted by the case among the formula, the alkenyl, alkynyl, Alkadienyl, aryl, heteroaryl, cycloalkyl, bicyclo alkyl, or a heterocyclyl radical is expressed. Alkyl, alkenyl by which R2 was permuted by the hydrogen atom or the case, Express alkynyl, alkadienyl, aryl, heteroaryl, cycloalkyl, bicyclo alkyl, or a heterocyclyl radical, or R1 and R2 [or] Become together with the intervening nitrogen atom and the heterocycle type ring permuted by the case is expressed. R3 expresses an alkyl group and R4 expresses hydrogen, alkyl, or an aryl group. L expresses the alkyl or the alkoxy group permuted by the halogen atom or the case, A expresses ** in which R5 has the semantics R4 were indicated to be N or CR5, and here, and it comes out and n offers the compound which is the integer of 0, or 1-5 and which is shown.
[0011] A new compound has alternative sterilization and ** mold activity excellent in various crops.
[0012] It is the purpose of this invention to offer new alternative sterilization and ** mold compound.
[0013] It is also the purpose of this invention to offer the approach of preventing the fungus which is not desired by contacting sterilization and the ** mold-effective dose of a new compound for the aforementioned vegetation.
[0014] It is the one more purpose of this invention to offer the alternative sterilization and the ** mold constituent containing a compound new as an active ingredient.
[0015] These, other purposes, and the description of this invention become still clearer from the claim of the detailed explanation shown below on these specifications, and attachment.
[0016] suitable voice -- detailed **** [like] -- a surprising thing -- formula I [0017]
[Formula 7]

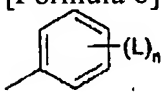


(I)

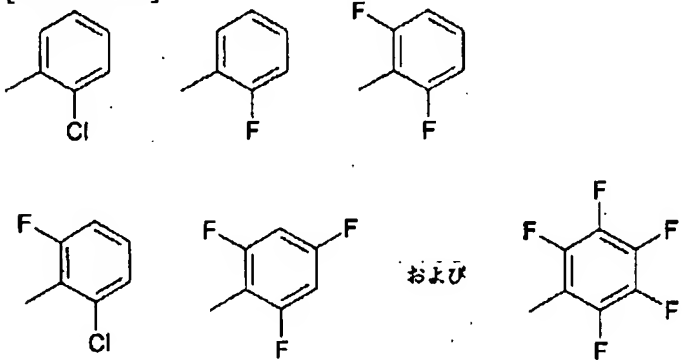
[0018] it was found out that the compound with which R1-R4, and A, L and n have among a formula the semantics Formula I was indicated to be and which is come out of and shown has the sterilization and ** mold activity which was excellent to the extensive fungus.
[0019] Unless it mentions specially, as used on these specifications, the vocabulary and a halogen atom

may especially show a bromine, iodine, chlorine, or a fluorine atom, and are a bromine, chlorine, or a fluorine atom.
[0020] The part permuted by the case is unsubstituted, or may have a substituent from one to the possible maximum number. Typically, 0-2 substituents exist.
[0021] Unless it mentions specially on these specifications, the vocabulary, alkyl, the alkenyl, alkynyl, and alkadienyl point out the shape of a straight chain, a branched-chain radical, or a part so that it may be used on these specifications about a radical or a part. Generally, especially this radical has a carbon atom to six pieces to ten pieces. suitable -- an alkyl part -- 1-6 carbon atoms -- it has 1-3 carbon atoms suitably. a suitable alkyl part -- ethyl -- or [especially] it is a methyl group. Appropriately, an alkenyl part has 2-6 carbon atoms. a suitable alkenyl part -- an allyl compound -- or [especially] it is 2-methyl allyl group.
[0022] unless it mentions specially on these specifications, the vocabulary and aryl are used on these specifications about a radical or a part -- as -- 6, 10 or 14 carbon atoms, the aryl group that has 6 or ten carbon atoms suitably especially a piece or the halogen atom beyond it, nitroglycerine, and cyano ** alkyl -- suitable -- one to C6 alkyl, and alkoxy ** -- the phenyl suitably permuted by C1-6 ARUKOKISHI by the case is pointed out.
[0023] Unless it mentions specially on these specifications, it has 5 or six ring members which were chosen from carbon, nitrogen, oxygen, and sulfur, and those at least one piece points out the heteroaryl radical which is nitrogen, oxygen, or sulfur so that the vocabulary and heteroaryl may be used on these specifications about a radical or a part.
[0024] unless it mentions specially on these specifications, the vocabulary and cycloalkyl are used on these specifications about a radical or a part -- as -- 3-8 carbon atoms, the cycloalkyl radical which has 5-7 carbon atoms suitably especially a piece or the halogen atom beyond it, nitroglycerine, and cyano ** alkyl -- suitable -- one to C6 alkyl, and alkoxy ** -- the cyclohexyl suitably permuted by C1-6 ARUKOKISHI by the case is pointed out.
[0025] Unless it mentions specially on these specifications, the vocabulary, heterocyclyl, or a heterocycle type ring It has 5 or six ring atoms which were chosen from carbon, nitrogen, oxygen, and sulfur so that it may be used on these specifications about a radical or a part. Those at least one piece One piece or the halogen atom beyond it, nitroglycerine, cyano ** alkyl -- suitable -- one to C6 alkyl, and alkoxy ** -- the nitrogen suitably permuted by C1-6 ARUKOKISHI by the case -- The saturation heterocyclyl radical which is oxygen or sulfur especially pyrrolo JINIRU, PIRAZORIJINIRU, piperidinyl one, piperazinyl one, or morpholine-4-yl is pointed out.
[0026] Especially this invention any alkyl group of the radicals R1-R4 which may be the shape of a straight chain, and branched-chain among a general formula I and a formula The carbon atom to ten pieces, The carbon atom to six pieces is contained suitable for the carbon atom to nine pieces, and a pan suitably. Any alkenyl or alkynyl section of the; substituents R1-R4 The carbon atom to ten pieces, The carbon atom to six pieces is contained suitable for the carbon atom to nine pieces, and a pan suitably. Any cycloalkyl section of the; substituents R1-R4 3-10 carbon atoms, 3-6 carbon atoms are contained suitable for 3-8 carbon atoms and a pan suitably.; and any aryl section of substituents R1-R4 6, 10, or 14 carbon atoms, Each radical which contained 6 or ten carbon atoms suitably, and was permuted by; list by the case among the formula becomes independent. One piece, the halogen atom beyond it or nitroglycerine, cyano ** alkyl, suitable -- one to C6 alkyl, and cycloalkyl -- suitable -- C -- three to 6 cycloalkyl the cyclo alkenyl -- suitable -- the C3-6 cyclo alkenyl and halo alkyl -- suitable -- C1-6 halo alkyl and halo cycloalkyl -- suitable -- C3-6 halo cycloalkyl -- alkoxy ** -- suitably, it comes out and is related with C1-6 alkoxy ** haloalkoxy and the compound which is suitably permuted by one to C6 haloalkoxy, phenyl, the halo, dihalo-phenyl, or the pyridyl radical and which is shown. Any alkyls, alkenyl, or alkynyl groups may also be the shape of a straight chain, and branched-chain. 4 - 6 member heterocycle type machine may be which heterocycle type machine with the piece or the hetero atom beyond it chosen from sulfur, nitrogen, and oxygen, and 4 - 6 ring atom suitably interrupted by oxygen. A halogen atom shows a fluorine, chlorine, or a bromine atom appropriately.
[0027] R1 especially this invention One to C10 alkyl, C1-10 halo alkyl, Three to C6 cycloalkyl, one to

C3-8 cycloalkyl-C6 alkyl, One to C1-10 alkoxy-C6 alkyl or a phenyl group, especially fluorination C1-10 alkyl group are expressed. And R2 is related with the compound shown by the general formula I showing one to C10 alkyl, three to C6 cycloalkyl, one to C3-8 cycloalkyl-C6 alkyl, one to C1-10 alkoxy-C6 alkyl or a phenyl group, especially a hydrogen atom.
[0028] Phenyl group [0029]
[Formula 8]



[0030] ** [0031]
[Formula 9]

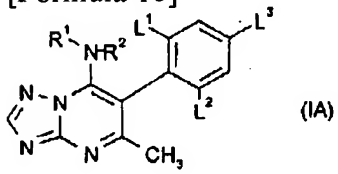


[0032] since -- especially the compound shown by the formula I chosen is suitable.
[0033] the compound by the general formula I -- an oil and rubber -- or it is the crystalline-solid matter in dominance. They are excellent with precious those sterilization and ** mold properties especially those remarkable permeability, and the remarkable toxicity for a fungus over the initial dieback of a tomato. They are set in agriculture or a related field. For example, Alternaria SORANI (Alternaria solani), BOTORICHISU KINEREA (Botrytis cinerea), cel KOSUPORA BECHIKORA (Cercospora beticola), Cladosporium HERUBARUMU (Cladosporium herbarum), COL CHIKIUMU RORUFUSHII (Corticium rolfsii), ERISHIFE Grammy varnish (Erysiphe graminis), HERUMINTOSUPORIUMU TORICHIKI REPENCHISU (Helminthosporium tritici repentis), Rep TOSUFA area NODORUMU (Leptosphaeria nodorum), Micro NEKUTORIERA NIBARISU (Micronectriella nivalis), MONIRINIA cell CHIGENA (Monilinia fructigena), MIKOSUFAERERA rig RIKORA (Mycosphaerella ligulicola), MIKOSUFAERERA Pino Thijs (Mycosphaerella pinodes), Pyricularia GURISEA f.sp. ORIZE (Pyricularia grisea f.sp.oryzae), Prevention of a vegetable virulence fungus like RIZOKUTONIA SORANI (Rhizoctonia solani) and Sclerotinia SUKURÉROCHIORUMU (Sclerotinia sclerotiorum), It can be especially used for prevention of Alternaria SORANI (Alternaria solani) and BOTORICHISU KINEREA (Botrytis cinerea). The compound shown by the general formula I by this invention has high sterilization and ** mold activity by large concentration within the limits, and it can be used for it convenient in agriculture.
[0034] Furthermore, the compound by this invention shows remarkable residual-prevention of a fungus as compared with the usual sterilization and ** mold agent.
[0035] A good result from a viewpoint of prevention of a vegetable virulence fungus R3 expresses a methyl group. R1 The shape of a straight chain, branched-chain C1-C6-alkyl, Especially Ethyl or isopropyl, C3-7-cycloalkyl, especially cyclopentyl, Shape of straight chain, branched-chain C1-C6-halo alkyl especially 2 and 2, 2-trifluoroethyl or 1 and 1, and 1-trifluoro PUROPO-2-IRU, Or the shape of a straight chain, the branched-chain C2-C6-alkenyl especially an allyl compound, or 2-methyl allyl compound is expressed. R2 expresses hydrogen or C1-C6-alkyl especially methyl, or ethyl, or and;, or R1 and R2 Become together with the intervening nitrogen atom and a heterocycle type ring with 5 or six carbon atoms which are permuted by one or two C1-C6-alkyl groups by the case is expressed. It

becomes together with the nitrogen atom with which R1 and R2 intervene especially in it, the piperidine-1-IRU radical permuted by case like piperidine-1-IRU or 4-methyl piperidine-1-IRU is expressed, and;A is N. And R4 is obtained using the compound which is hydrogen and which is shown by Formula I.

[0036] Formula IA [0037]

[Formula 10]



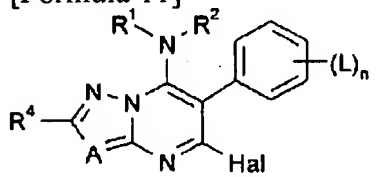
(IA)

[0038] it has the semantics of the above [R1 and R2] among a formula, and especially the compound those at least one [whose] L1, L2, and L3 express hydrogen, a fluorine, or chlorine respectively independently, and is a fluorine or chlorine and that is come out of and shown is suitable.

[0039] Especially a good result from a viewpoint of prevention of a vegetable virulence fungus For example By using the following compounds shown by Formula I :6- obtained (2-chloro-6-fluoro phenyl)-5-methyl-7- [4 [1, 2,]] triazolo [5[1 and]-a] pyrimidine;6- [(4-methyl piperidine-1-IRU)-[1, 2, 4] triazolo [1 and 5-] a] pyrimidine;6-(2-fluoro phenyl)-5-methyl-7-(4-methyl piperidine-1-IRU)- (2-chlorophenyl)-5-methyl-7- [4 [1, 2,]] triazolo [5[1 and]-a] pyrimidine;6- [(4-methyl piperidine-1-IRU)-[1, 2, 4] triazolo [1 and 5-] a] pyrimidine;6-(2-chloro-6-fluoro phenyl)-5-methyl-7-(N and N-diethylamino)- (2-chloro-6-fluoro phenyl)-5-methyl-7- [4 [1, 2,]] triazolo [5[1 and]-a] pyrimidine;6-[(N-ethylamino)-[1, 2, 4] triazolo [1 and 5-] a] pyrimidine;6-(2-chloro-6-fluoro phenyl)-5-methyl-7-(N -(2, 2, and 2-trifluoroethyl)- amino)- (2 and 6-difluoro phenyl)-5-methyl-7- [4 [1, 2,]] triazolo [5[1 and]-a] pyrimidine;6- [(4-methyl piperidine-1-IRU)-[1, 2, 4] triazolo [1 and 5-] a] pyrimidine;6-(2, 6-dichlorophenyl)-5-methyl-7-(4-methyl piperidine-1-IRU)- (2-chloro-6-fluoro phenyl)-5-methyl-7- [4 [1, 2,]] triazolo-[5[1 and]-a] pyrimidine;6- [(N-isopropylamino)-[1, 2, 4] triazolo [1 and 5-] a] pyrimidine;6-(2-chloro-6-fluoro phenyl)-5-methyl-7-(N-cyclopentylamino)- (2 and 6-difluoro phenyl)-5-methyl-7- [4 [1, 2,]] triazolo [5[1 and]-a] pyrimidine;6- a (N and N-diethylamino)-[1, 2, 4] triazolo [1 and 5-a] pyrimidine and 6-(2-chloro-6-fluoro phenyl)-5-methyl-7-(N-ethyl-N-2-methyl allyl compound-amino)- (2, 4, and 6-trifluoro phenyl)-5-methyl-7- [4 [1, 2,]] triazolo [5[1 and]-a] pyrimidine; [(4-methyl piperidine-1-IRU)-[1, 2, 4] triazolo [1 and 5-] a] pyrimidine;(2, 4, 6-trifluoro phenyl)-5-methyl-7-(piperidine-1-IRU)- (2-chloro-6-fluoro phenyl)-5-methyl-7- (Piperidine-1-IRU)-[1, 2, 4] triazolo [1, 5-a] pyrimidine; (2-fluoro phenyl)-5-methyl-7- [(Piperidine-1-IRU)-[1, 2, 4] triazolo [1 and 5-] a] pyrimidine;6-(2-chloro-6-fluoro phenyl)-5-methyl-7-(N -(1, 1, and 1-trifluoro PUROPO-2-IRU)- amino)-[1, 2, 4] triazolo [1, 5-a] pyrimidine; 6- (2 and 6-difluoro phenyl)-5-methyl-7- () [N-] [(1, 1, and 1-trifluoro PUROPO-2-IRU)-amino-[1, 2, 4] triazolo [1 and 5-] a] pyrimidine;6-(2, 4, 6-trifluoro phenyl)-5-methyl-7-(N -(1, 1, and 1-trifluoro PUROPO-2-IRU)- amino)-[-- 1 and 2 -- 4] [1 and 5-triazolo a] pyrimidine;6- (2, 4, and 6-trifluoro phenyl)-5-methyl-7- (-- N -- N - diethylamino --) - [-- one -- two -- four --] -- triazolo -- [-- one -- five - a --] -- a pyrimidine --; -- six - (2, 4, 6-trifluoro phenyl) - five - methyl - seven - (N-ethylamino) - [-- one -- two -- four --] -- triazolo -- [-- one -- five - a --] -- a pyrimidine .

[0040] This invention is the (a) type II [0041] further.

[Formula 11]

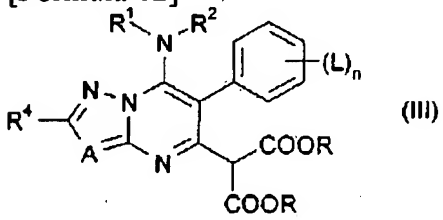


(II)

[0042] It is dialkyl malonate, the 5-halo-AZORO pyrimidine shown by (A, R1, R2, R4, L, and n have

among a formula the semantics Formula I was indicated to be) is processed under existence of a base, and it is the (b) type III [0043].

[Formula 12]

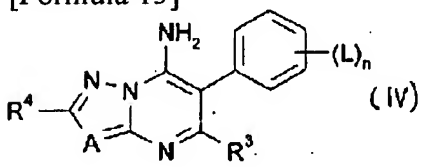


(III)

[0044] R3 which comes to contain what the obtained amino AZORO pyrimidine-5-IRUMARON acid ester which is shown by (R1, R2, R4, A, L, and n have semantics according to claim 1 among a formula, and R expresses an alkyl group) is heated for under existence of an acid offers the manufacture approach of the compound shown by the formula I showing a methyl group.

[0045] Furthermore, the compound shown by Formula I is Formula IV [0046] again.

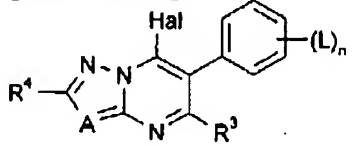
[Formula 13]



(IV)

[0047] It may be manufactured from 7-amino triazolo pyrimidine shown by (R3, R4, A, L, and n have semantics given in a claim among a formula), it is processed with a halogenating agent under existence of a diazotation agent, and it is general formula V [0048].

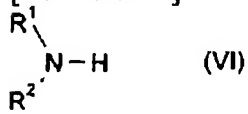
[Formula 14]



(V)

[0049] It is a general formula VI [0050] about the obtained compound which is shown by (R3, R4, A, L, and n are as above-mentioned among a formula, and Hal expresses chlorine or a bromine atom).

[Formula 15]



(VI)

[0051] It processes by the amine shown by (the inside of a formula, and R1 and R2 are as above-mentioned).

[0052] The compound shown by Formula IV is known from for example, the Europe patent No. 0071792 specification.

[0053] The reaction of the 5-halo 7-amino-6-phenyl-triazolo pyrimidine (it is the known from a U.S. Pat. No. 5,593,966 specification) and malonic-acid alkyl which are shown by Formula II is performed under existence of a solvent for convenience. The hydrocarbon halogenated as a suitable solvent by case like dioxane, diethylether and ether; mineral oil like especially a tetrahydrofuran, and dichloromethane; the mixture of nitril; like aromatic hydrocarbon, for example, a toluene; acetonitrile, or these solvents is mentioned to a list. A reaction is appropriately performed at the temperature within the limits of 0 degree C - 100 degrees C, and suitable reaction temperature is 20 degrees C - 70 degrees C. It is also suitable that a reaction is performed under existence of a strong base. An amide like a hydride like sodium hydride, an organometallic compound like butyl lithium and sodium amide, or a lithium diisopropyl amide as a suitable strong base is mentioned.

[0054] It was found out that the compound shown by Formula I has sterilization and ** mold activity. Therefore, this invention offers the sterilization and the ** mold constituent which comes at least to contain the active ingredient which is a kind of compound shown by the further above-mentioned formula I and a kind, or the support beyond it. The manufacture approach of this constituent that comes to contain making the compound shown by the above-mentioned formula I join with support is also offered. This constituent may contain the mixture of the single active ingredient of this invention, or several sorts of active ingredients. The mixture of various isomers or an isomer may have various levels or the activity of a spectrum, therefore it is also considered that a constituent may come to contain the mixture of each isomer or an isomer.
[0055] The constituent by this invention contains 0.5% - 95 w/w% of active ingredient suitably.
[0056] The support in the constituent by this invention is one which an active ingredient is pharmaceutical-preparation-ized, makes easy application in the whereabouts location which may be vegetation, a seed, or soil, and which is processed, or makes storage, transportation, or handling easy of matter. Support may be a solid-state or a liquid including the matter which was pressurized although it was not usually a gas, and formed the liquid.
[0057] A constituent may be manufactured by the operation information well established by an emulsion, a solution agent, a water middle oil emulsion, water dispersible powder, water soluble powders, suspension pharmaceutical preparation, powder material, a granule, a water-dispersion granule, a microcapsule agent, gel, and other pharmaceutical preparation molds. Such operation information includes powerful mixing with other matter like a solid-state, a liquid adjuvant, and/or an adjuvant, and/or grinding by an active ingredient, an extending agent and a solvent, the solid support, the surface activity compound (surface active agent), and the case. The gestalt of use like an atomizing process, the atomizing method, a variational method, and the pouring-in method may be chosen like a constituent according to the desired purpose and the desired condition of having been given.
[0058] A solvent Aromatic hydrocarbon (trademark) 200, for example, Solvesso, permutation naphthalene, Dibutyl phtalate or phthalic ester like a dioctyl phthalate, In aliphatic hydrocarbon, for example, a cyclohexane, or paraffin, alcohol, and a glycol list, those ether and ester, For example, ethanol and ethylene glycol monochrome - and wood ether, A ketone like a cyclohexane, a N-methyl-2-pyrrolidone, or a strong polar solvent like a butyrolactone, You may be water at a high-class alkyl pyrrolidone, for example, n-octyl pyrrolidone, or a cyclohexyl pyrrolidone, epoxidizing vegetable oil ester, for example, methylation coconut oil, or a soybean-oil ester list. It is common for the mixture of various liquids to be suitable.
[0059] The solid supports which may be used for powder material, water dispersible powder, a water-dispersion granule, or a granule may be a calcite, talc, a kaolin, a mono-MORIRO night, and a mineral extending agent like ata PAL GYAITO. A physical property adds the silica gel or the polymer distributed by altitude, and may be improved. The support for a granule may be the porous matter, for example, a pumice, a kaolin, a sepiolite, and a bentonite, and non-adsorbent support may be a calcite or sand. Additionally, inorganic or the organic substance with which the varieties like a dolomite or the crushed vegetable residue were front-granulated may be used.
[0060] A ********** constituent is manufactured and conveyed to the thick gestalt diluted by the user before use in many cases. If the small quantity of the support which is a surfactant exists, this dilution process will become easy. Therefore, at least one sort of support in the constituent by this invention is surfactants suitably. For example, a constituent may contain two sorts or the support beyond it, and those at least one sort is a surface active agent.
[0061] A surfactant may be nonionic [which has good dispersibility, emulsifiability and a humidification nature property depending on the property of the compound shown by the general formula I manufactured], anionic, cationicity, or ampholite. A surfactant may also mean the mixture of each surfactant again.
[0062] The constituent of this invention may be manufactured as water dispersible powder, water-dispersion powder material, powder material, a granule, a solution agent, an emulsion, an opacifier, suspension pharmaceutical preparation, and a haze agent. 5 - 90 w/w% of active ingredient is contained,

and usually it accepts to 3 - 10 w/w% of a dispersant and a humidification agent out of a solid-state inert carrier, it accepts the need at a list, and water dispersible powder contains 0 - 10 w/w% of stabilizing agent and/or a penetrating agent, or other additives like a binder. Although it usually has the same presentation as water dispersible powder, medicine is manufactured as a thick powder material which does not have a dispersant, and powder material is good also as a constituent which is further diluted with a solid support out in the fields, and usually contains 0.5-10 w/w% of active ingredient. A water-dispersion granule and a granule may usually be manufactured so that it may have the particle size of 0.15mm - 2.0mm, and they may be manufactured by the technique of varieties. Generally, these kinds of granules contain 0.5 - 90 w/w% of active ingredient and 0 - 20 w/w% of stabilizing agent, a surfactant, a gradual release modifier, and an additive like a binder. The so-called "desiccation floor BURIRU powder" consists of comparatively small granulation which has the active ingredient of comparatively high concentration. An emulsion usually contains other additives like 1 - 80 w/v% of active ingredient, 2 - 20 w/v% of emulsifier and 0 - 20 w/v% of stabilizing agent, a penetrating agent, and a corrosion inhibition agent besides the mixture of a solvent or a solvent. Suspension pharmaceutical preparation is ground so that a stable un-sedimenting nature floor bull agent may usually be obtained. Usually And 5 - 75 w/v% of active ingredient, 0.5 - 15 w/v% of dispersant, 0.1 - 10 w/v% of protective colloid, and a suspending agent like a thixotropy agent, 0 - 10 w/v% of defoaming agent, a corrosion inhibition agent, a stabilizing agent, a penetrating agent, and other additives like a binder, Water or an active ingredient contains an insoluble organic liquid substantially in a list, and the organic solid-state or mineral salt of; regularity may dissolve and exist in pharmaceutical preparation as an antifreezing agent to water in order to support sedimentation and prevention of crystallization.

[0063] The constituent which dilutes water nature powder and an opacifier, for example, the pharmaceutical preparation by this invention, with water, and is obtained is also within the limits of this invention.

[0064] The thing which makes interest cause especially in case the duration of the protection activity of the compound by this invention is raised is use of the support which offers gradual release of the ********** compound to the inside of the environment of the vegetation which should be protected.

[0065] The biological activity of an active ingredient can be reinforced by including an adjuvant in a spraying diluent again. On these specifications, although an adjuvant reinforces the biological activity of an active ingredient, it is notably defined as the matter which is not activity biologically in itself. An adjuvant can be added on a spraying tank together with the pharmaceutical preparation which is included in pharmaceutical preparation as a ******-ized agent (coformulant) or support, or contains an active ingredient.

[0066] As goods, a constituent may be a thick gestalt suitably and, generally another side and an end user use a dilution constituent. A constituent may be diluted by the concentration of the active ingredient to 0.001%. A dose is usually 0.01-10kg. It is within the limits of a.i./ha.

[0067] The example of the pharmaceutical preparation by this invention is as follows. : Emulsion (EC) active ingredient Compound of an example 1 30% (w/v)
Emulsifier Atlox(trademark) 4856B/ 5% (w/v)
4858BAtlox(trademark)1 solvent Shellsol(trademark) A2 Whole quantity 1000ml Suspension pharmaceutical preparation (SC) active ingredient Compound of an example 1 50% (w/v)
Decentralization agent Soprophor(trademark) floor line3 3% (w/v)
Defoaming agent Rhodorsil4223 (trademark) 0.2% (w/v)
Structure agent Kelzan(trademark) S4 5% (w/v)
Germicide Proxel5 (trademark) 0.1% (w/v)
Water Whole quantity 1000ml Water-dispersible-powder (WP) active ingredient Compound of an example 1 60% (w/w)
Humidification agent Atlox49951 (trademark) 2% (w/w)
Decentralization agent Witcosperse (trademark) 3% (w/w)
D-606) Support/extending agent Kaolin 35% (w/w)
Water-dispersion granule (WG) active ingredient Compound of an example 1 50% (w/w)

Decentralization agent/ Witcosperse (trademark) 8% (w/w)
Binder D-4506 Humidification agent Morwet(trademark) EFW6 2% (w/w)
Defoaming agent Rhodorsil(trademark) EP67033 1% (w/w)
Disintegrator Agrimer(trademark) ATF7 2% (w/w)
Support/extending agent Kaolin 35% (w/w)
The bridging homopolymer Atlox(trademark) 4856 B/Atlox(trademark) 4858B1 alkylarylsulfonic-acid calcium of a name identity Agrimer(trademark) ATF7N-vinyl-2-pyrrolidone, The mixture / alkylarylsulfonic acid calcium containing a fatty alcohol ethoxy rate and **********, A fatty alcohol ethoxy rate and ********** The mixture Atlox to contain (Trademark) 49951 polyoxyethylene-alkyl-ether Kelzan(trademark) S4 xanthan gum Morwet(trademark) EFW6 formaldehyde condensate propylene glycol Proxel(trademark) 520%1 and 2-BENISO thiazoline-3-ON The dipropylene glycol water solution Rhodorsil to contain (Trademark) 4223 poly dimethylsiloxane -- un--- ion aquosity emulsion Rhodorsil(trademark) EP67033 encapsulation silicone Shellsol(trademark) A2C9-C10 aromatic hydrocarbon mixture Soprophor The sodium salt of a floor line3 polyoxyethylene PORIARIRU phenyl ether phosphoric-acid amine salt Witcosperse(trademark) D-4506 condensation naphthalene sulfonic acid, and the mixture Witcosperse of alkyl sulfonate (Trademark) (Trademark) the sodium salt of a D-606 condensation naphthalene sulfonic acid, and mixture 1 of alkyl aryl polyoxy acetate ICI available from Surfactants -- 2 Deutsche Shell available from AG -- 3 available from Rhone-Poulenc -- 4 Kelco available from Co. company -- 5 available from Zeneca -- 6 available from Witco -- 7 International Speciality From Products the constituent of available this invention again other compounds which have biological activity -- for example, the same -- again -- ** -- it becomes impossible to contain the compound which has the compound which has additional sterilization and ** mold activity or plant growth accommodative, weeding-out nature, or insecticidal activity
[0068] Such mixture of sterilization and a ** mold agent can have a spectrum also with the large compound independent twist shown by the general formula I. Furthermore, other sterilization and ** mold agents can have the synergistic effect with sterilization and the ** mold activity of the compound shown by the general formula I.
[0069] the example of other sterilization and ** mold compounds -- anilazine and AZOKI cis- -- fatty tuna -- a bottle -- BENARAKISHIRU, BENOMIRU, binapacryl, Bitertanol, blasticidin S, The Bordeaux mixture, BUROMOKONAZORU, a BUPIRI mart, captafol, Captan, cull vendor gin, carboxin, cull pro PAMIDO, KURORUBENZOCHIAZON, A copper content compound like chlorothalonil, clo ZORINATO, oxysalt-ized copper, and a copper sulfate, A cycloheximide, cymoxanil, the SHIPRO furan, cyproconazole, SHIPUROJINIRU, a JIKURO full amide, dichlone, JIKURORAN, JIKUROBUTORAZORU, JIKUROSHIMETTO, dichlomedin, SHIETOFENKARUBU, JIFENOKONAZORU, A JIFURUME trim, dimethirimol, a JIMETO morph, diniconazol, JINOKAPPU, JITARIMUFOSU, dithianon, DODEMORUFU, DOJIN, EJIFENHOSU, Epoxyconazole, etaconazole, ethirimol, ETORI diazole, FAMOKISADON, FENAPANIRU, fenarimol, fenbuconazole, The Foehn furan, FENHEKISAMIDO, fenpiclonil, FEN pro pidgin, A FEMPUROPI morph, Foehn Ching, acetic-acid Foehn Ching, hydroxylation Foehn Ching, Ferimzone, fluazinam, full dioxo nil, full METOBERU, full Cucumaria NAZORU, Flusilazole, full sulfamide, flutolanil, a full thoria fall, Folpet, aluminum tris (ethoxyphosphinate), fuberidazole, furalaxyl, FURAME tolyl, guazatine, hexa kona ZORU, imazalil, imino KUTAJIN, Ipconazole, ZORU, iprodione, isoprothiolane, kasugamycin, KITAJIN P, kresoxim-methyl, MANKOZEBU, maneb, MEPANIPIRIMU, MEPURONIRU, metalaxyl, meta-kona ZORU, METOFUROKISAMU, micro swine nil, Neo ASOJIN, dimethyl dithiocarbamic acid nickel, nit ROTARU isopropyl, A NUARI mall, an off lath, organomercury compounds, oxadixyl, OKISAMOKARUBU, Penconazole, the Benxi kuron, phenazine oxide, phthalide, polyoxin D, Pori Lamb, Probenazole, pro KURORAZU, procymidone, pro PAMOKARUBU, Propiconazole, propineb, PIRAZOHOSU, pyrifenox, pilus meta-nil, Pyroquilon, PIROKISHIFURU, Chinomethionate, kino KISHIFEN, quintozene, SUPIROKISAMIN, SSF-126, SSF-129, streptomycin, Sulfur, TEBEKONAZORU, tecloftalam, tecnazen, tetraconazole, Thiabendazole, CHIFURUZAMIDO, thiophanate-methyl, CHIRAN, Tolclofos-methyl, truffe RUANIDO, thoria JIMEFON, triazimenol,

Thoria ZUBUCHIRU, triazoxide, tricyclazole, tridemorph, triflumizole, triforine, triticonazole, validamycin They are A, vincrozoline, XRD-563, ZARIRAMIDO, a zineb, and ziram.
[0070] Furthermore, ****** (co-formulation) by this invention may contain either the biological prevention agent of the following classes suitable for preventing an insect, weeds, or a vegetable disease in a kind of compound [at least] list shown by Formula I, or inducing host resistance in vegetation, for example, a virus, bacteria, a nematode, a fungus and other microorganisms. the example of this biological prevention agent -- bacillus CHU -- a ringgit -- en cis- (Bacillus thuringiensis) -- Bell CHIKIRIUMU REKANII (Verticillium lecanii), Out GURAFIKA KARIHORUNIKA NPV (Autographica californica NPV), Baud BERIA BASHIANA (Beauvaria bassiana), AMPERO Mrs. KISUKU Alice (Ampelomyces quisqualis), A Bacillus subtilis (Bacillus subtilis), a SHUDOMONASU fluorescence (Pseudomonas fluorescens), They are Streptomyces GURISEOBIRIJISU (Streptomyces griseoviridis) and Trichoderma hull JIANUMU (Trichoderma harzianum).
[0071] Furthermore, the pharmaceutical preparation by this invention may contain the chemical agent which induces generalized acquired resistance in nicotinic-acids or those derivative, 2, and 2-dichloro - 3, 3-dimethyl cyclo propyl carboxylic acid, or vegetation like BION in a kind of compound [at least] list shown by Formula I.
[0072] The compound shown by the general formula I is mixable with the soil for protecting vegetation from a seed-borne disease, a soil borne disease, or forage fungal diseases, peat, or other rooting media.
[0073] The use as sterilization and a ** mold agent of the compound or the above-mentioned constituent shown by the general formula I of more nearly further the above [this invention], Whether it is easy to receive the attack of a fungus in a list or the seed of carrier beam vegetation and this vegetation or this vegetation is growing or the whereabouts location which may be the medium which is to be grown The approach of preventing the fungus of the whereabouts location which may come to contain processing with this compound or constituent is offered.
[0074] This invention has large applicability, although crops and a decorative plant are protected from the attack of a fungus. As typical crops which may be protected, a vine thing, grain like wheat and a barley, a rice, a sugarbeet, top fruits (top fruit), a peanut, a potato, and a tomato are mentioned. It usually depends for the duration of a protective action on each selected compound and various external factors like the climate where the impact is mitigated by use of usually suitable pharmaceutical preparation.
[0075] The following examples explain this invention further. However, it should be understood that this invention is not what is limited only to the following specific example.

[Translation done.]

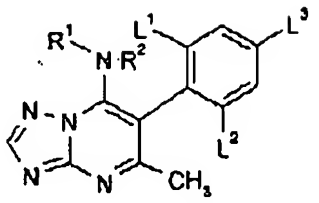
* NOTICES *

1.This document has been translated by computer. So the translation may not reflect the original precisely.
2.**** shows the word which can not be translated.
3.In the drawings, any words are not translated.

EXAMPLE

[Example]
Example 16- (2-chloro-6-fluoro phenyl)-5-methyl-7- (4-methyl piperidine-1-IRU)-[1, 2, 4]-triazolo [1, 5a] pyrimidine 1A [6-(2-chloro-6-fluoro phenyl)-7-(4-methyl piperidine-1-IRU)- 1, 2, and 4-triazolo [1 - - 5a] pyrimidine-5-IRU]-diethyl-malonate sodium hydride (0.27g of 50% dispersion liquid in mineral oil, 5.65 millimol) is added to a diethyl malonate (20ml). Mixture is diluted with an acetonitrile and a 6-(2-chloro-6-fluoro phenyl)-5-chloro-7-(4-methyl piperidine-1-IRU)-[1, 2, 4]-triazolo [1,a [5]] pyrimidine (2.0g, 4.71 millimol which were obtained according to the U.S. Pat. No. 5,593,996 specification) is added. A reaction mixture is heated to 60 degrees C, and is agitated for 20 hours. An ammonium-chloride water solution (50ml) is added, and mixture is acidified with dilute hydrochloric acid. Ethyl acetate (3x50ml) extracts a reaction mixture. The organic phase which joined is dried and condensed. A column chromatography (a silica, toluene: ethyl acetate, 9:1) refines residue. A pure product is obtained as a tongue (tan) crystal (0.95g) of the 162 to 163 degree C melting point.
[0077] 1B Heat the mixture of 6-(2-chloro-6-fluoro phenyl)-5-methyl-7-(4-methyl piperidine-1-IRU)-[1, 2, 4]-triazolo [1,a [5]] pyrimidine 1A (0.5g, 1 millimol) and concentrated hydrochloric acid at 80 degrees C for 24 hours. A reaction mixture is cooled and pH is adjusted to 5 by addition of a sodium-hydroxide water solution. Ethyl acetate extracts a reaction mixture. The organic phase which joined is dried and condensed. A column chromatography (a silica, toluene: ethyl acetate, 2:1) refines residue. A pure product is obtained as a tongue (tan) crystal (0.27g) of the 177 to 178 degree C melting point.
[0078] A two to 22 or less-example example (Table 1; structure and melting point) is compounded like an example 1.
[0079]
[Table 1]

表 I



実施例	R^1	R^2	L^1	L^2	L^3	融点(℃)
2	-$(CH_2)_2$-CH(CH_3)-$(CH_2)_2$-		F	H	H	197-199
3	-$(CH_2)_2$-CH(CH_3)-$(CH_2)_2$-		Cl	H	H	201-202
4	C_2H_5-	C_2H_5-	F	Cl	H	147-148
5	C_2H_5-	H	F	Cl	H	140-141
6	F_3C-CH_2-	H	F	Cl	H	
7	-$(CH_2)_2$-CH(CH_3)-$(CH_2)_2$-		F	F	H	
8	-$(CH_2)_2$-CH(CH_3)-$(CH_2)_2$-		Cl	Cl	H	
9	2-propyl	H	F	Cl	H	
10	cyclopentyl	H	F	Cl	H	
11	C_2H_5-	C_2H_5-	F	F	H	
12	CH_2=C(CH_3)-CH_2-	C_2H_5-	F	Cl	H	
13	-$(CH_2)_2$-CH(CH_3)-$(CH_2)_2$-		F	F	F	
14	-$(CH_2)_5$-		F	F	F	
15	-$(CH_2)_5$-		F	Cl	H	
16	-$(CH_2)_5$-		F	H	H	
17	-$(CH_2)_5$-		F	F	H	
18	1,1,1-トリフルオロプロポ-2-イル	H	F	Cl	H	
19	1,1,1-トリフルオロプロポ-2-イル	H	F	F	H	
20	1,1,1-トリフルオロプロポ-2-イル	H	F	F	F	
21	C_2H_5-	C_2H_5-	F	F	F	
22	C_2H_5-	H	F	F	F	

[0080] The decision ED>90(Effective Dosis(effective dosage) > 90%)-value of the effective dosage for the rejection exceeding 90% with the trial compound in the sequence dilution test method of the vegetable virulence fungus which is biological research versatility is determined by the sequence dilution test method which uses a Microtiter plate with 24 per plate, or 48 wells. Dilution of the trial compound in a nutrition solution and the distribution to a well are TECAN. RSP 5000 RoboticSample Processor performs. : which uses the concentration of the following trial compounds -- 0.05, 0.10, 0.20, 0.39, 0.78, 1.56, 3.13, 6.25, 12.50, 25.00 and 50.00, and 100.00microg/ml For preparation of a nutrition solution, it mixes with a calcium carbonate (4.95g), and centrifugal separation of the V8 vegetable juice (333ml) is carried out, supernatant liquor (200ml) is diluted with water (800ml), and an autoclave is carried out for 30 minutes at 121 degrees C.

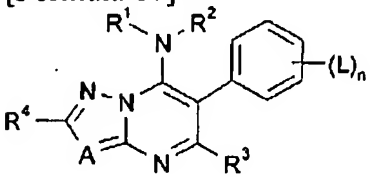
[0081] each inoculum (SORANI (Alternaria solani) Cercospora leaf spot bacillus Alternaria -)

ALTESO; Gray mold contagion BOTORICHISU KINEREA (Botrytis cinerea), BOTRICI; Leptosphaeria nodorum bacillus rep TOSUFA area NODORUMU (Leptosphaeria nodorum), LEPTNO; The Phytophthora FITOFUTORA in festival wardrobe (Phytophthora infestans), PHYTIN; Pyrenophora teres bacillus pyrenophora TERESU (Pyrenophorateres), PYRNTE; Rhizoctonia solani RIZOKUTONIA SORANI (Rhizoctonia solani) and RHIZSO -- as the agar slice (6mm) of the spore suspension (50ml, 5x105-/ml) of a fungus, or the agar culture of a fungus -- a well -- it adds to inside.
[0082] After cultivating for six - 12 days at suitable temperature (18 to 25 degree C), the visual inspection of a plate determines ED>90 value. The least concentration of the dilution sequence by which less than 10% of mycelium growth is observed is specified as ED>90 value (table II;n.t. = it does not examine).
[0083]
[Table 2]

表 1 1

実施例番号	ALTESO	BOTRCI	LEPTNO	PHYTIN	PYRNTE	RHISZO
1	0.2	0.78	1.56	n.t.	0.78	50
2	3.13	12.5	n.t.	100	100	n.t.
3	0.78	1.56	n.t.	n.t.	100	n.t.
4	25	n.t.	n.t.	n.t.	n.t.	3.13
5	50	25	n.t.	100	n.t.	50

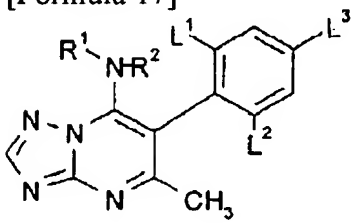
[0084] In addition, the main description and main mode of this invention are indicated below.
[0085] 1. General formula I [0086]
[Formula 16]



(I)

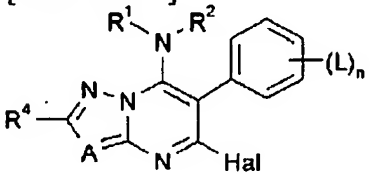
[0087] The alkyl by which R1 was permuted by the case among the formula, the alkenyl, alkynyl, Alkadienyl, aryl, heteroaryl, cycloalkyl, bicyclo alkyl, or a heterocyclyl radical is expressed. Alkyl, alkenyl by which R2 was permuted by the hydrogen atom or the case, Express alkynyl, alkadienyl, aryl, heteroaryl, cycloalkyl, bicyclo alkyl, or a heterocyclyl radical, or R1 and R2 [or] Become together with the intervening nitrogen atom and the heterocycle type ring permuted by the case is expressed. R3 expresses an alkyl group and R4 expresses hydrogen, alkyl, or an aryl group. the compound whose n L expresses the alkyl or the alkoxy group permuted by the halogen atom or the case, and A expresses ** in which R5 has the semantics R4 were indicated to be N or CR5, and here, and is the integer of 0, or 1-5 and which is come out of and shown.
[0088] 2. Compound given in the above 1 whose R3 expresses methyl group.
[0089] R1 3. Shape of Straight Chain, Branched-chain C1-C6-Alkyl, C3-7-Cycloalkyl, The shape of a straight chain, branched-chain C1-C6-halo alkyl or the shape of a straight chain, and the branched-chain C2-C6-alkenyl are expressed. R2 expresses hydrogen or C1-C6-alkyl, or and R1 and R2 [or] A compound given in the above 1 or 2 which becomes together with an adjoining nitrogen atom and expresses a heterocycle type ring with 5 or six carbon atoms which are permuted by one or two C1-C6-alkyl groups by the case.
[0090] 4. Compound given in either of the above 1-3 whose A is N and whose R4 is hydrogen.
[0091] 5. Compound given in either of the above 1-4 which R1 and R2 become together with adjoining nitrogen atom, and expresses heterocycle type machine chosen from piperidine-1-IRU and 4-methyl piperidine-1-IRU.

[0092] 6. Formula IA [0093]
[Formula 17]



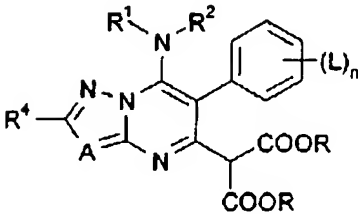
(IA)

[0094] a compound given in either of the above 1-5 come out of and shown those at least one [whose] it has the semantics of the above [R1 and R2] among a formula, and L1, L2, and L3 express hydrogen, a fluorine, or chlorine respectively independently, and is a fluorine or chlorine.
[0095] 7. Compound:6- of Following Shown by Formula I (2-chloro-6-fluoro phenyl)-5-methyl-7- [4 [1, 2,]] triazolo [5[1 and]-a]-pyrimidine;6- [(4-methyl piperidine-1-IRU)-[1, 2, 4] triazolo [1 and 5-] a] pyrimidine;6-(2-fluoro phenyl)-5-methyl-7-(4-methyl piperidine-1-IRU)- (2-chlorophenyl)-5-methyl-7- [4 [1, 2,]] triazolo [5[1 and]-a]-pyrimidine;6- [(4-methyl piperidine-1-IRU)-[1, 2, 4] triazolo [1 and 5-] a]-pyrimidine;6-(2-chloro-6-fluoro phenyl)-5-methyl-7-(N and N-diethylamino)- (2-chloro-6-fluoro phenyl)-5-methyl-7- [4 [1, 2,]] triazolo [5[1 and]-a] pyrimidine;6- [(N-ethylamino)-[1, 2, 4] triazolo [1 and 5-] a]-pyrimidine;6-(2-chloro-6-fluoro phenyl)-5-methyl-7-(N -(2, 2, and 2-trifluoroethyl)-amino)- (2 and 6-difluoro phenyl)-5-methyl-7- [4 [1, 2,]] triazolo [5[1 and]-a]-pyrimidine;6- [(4-methyl piperidine-1-IRU)-[1, 2, 4] triazolo [1 and 5-] a]-pyrimidine;6-(2, 6-dichlorophenyl)-5-methyl-7-(4-methyl piperidine-1-IRU)- (2-chloro-6-fluoro phenyl)-5-methyl-7- [4 [1, 2,]] triazolo-[5[1 and]-a] pyrimidine;6- [(N-isopropylamino)-[1, 2, 4] triazolo [1 and 5-] a]-pyrimidine;6-(2-chloro-6-fluoro phenyl)-5-methyl-7-(N-cyclopentylamino)- (2 and 6-difluoro phenyl)-5-methyl-7- [4 [1, 2,]] triazolo [5 [1 and]-a] pyrimidine;6- [(N and N-diethylamino)-[1, 2, 4] triazolo [1 and 5-] a]-pyrimidine;6-(2-chloro-6-fluoro phenyl)-5-methyl-7-(N-ethyl-N-2-methyl allyl compound-amino)- (2, 4, and 6-trifluoro phenyl)-5-methyl-7- [4 [1, 2,]] triazolo [5[1 and]-a] pyrimidine; [(4-methyl piperidine-1-IRU)-[1, 2, 4] triazolo [1 and 5-] a]-pyrimidine;(2, 4, 6-trifluoro phenyl)-5-methyl-7-(piperidine-1-IRU)- (2-chloro-6-fluoro phenyl)-5-methyl-7- (Piperidine-1-IRU)-[1, 2, 4] triazolo [1, 5-a]-pyrimidine; (2-fluoro phenyl)-5-methyl-7- [(Piperidine-1-IRU)-[1, 2, 4] triazolo [1 and 5-] a] pyrimidine;6-(2-chloro-6-fluoro phenyl)-5-methyl-7-(N -(1, 1, and 1-trifluoro PUROPO-2-IRU)- amino)-[1, 2, 4] triazolo [1, 5-a] pyrimidine; 6- (2 and 6-difluoro phenyl)-5-methyl-7- () [N-] [(1, 1, and 1-trifluoro PUROPO-2-IRU)-amino-[1, 2, 4] triazolo [1 and 5-] a] pyrimidine;6-(2, 4, 6-trifluoro phenyl)-5-methyl-7-(N -(1, 1, and 1-trifluoro PUROPO-2-IRU)- amino)-[-- 1 and 2 -- 4] [1 and 5-triazolo a] pyrimidine;6- (2, 4, and 6-trifluoro phenyl)-5-methyl-7- (-- N -- N - diethylamino --) - [-- one -- two -- four --] -- triazolo -- [-- one -- five - a --] - a pyrimidine --; -- six - (2, 4, 6-trifluoro phenyl) - five - methyl - seven - (N-ethylamino) - [-- one -- two -- four --] -- triazolo -- [-- one -- five - a --] -- a pyrimidine .
[0096] 8.(a) Formula II [0097]
[Formula 18]



(II)

[0098] It is malonic-acid alkyl, the 5-halo-AZORO pyrimidine shown by (A, R1, R2, R4, L, and n have among a formula the semantics Formula I was indicated to be, and Hal expresses a halogen atom) is processed under existence of a base, and it is the (b) type III [0099].
[Formula 19]



(III)

[0100] It is the manufacture approach of the compound shown by the formula I to which R3 which comes to contain what the obtained amino AZORO pyrimidine-5-IRUMARON acid ester which is shown by (R1, R2, R4, A, L, and n have the semantics of a publication in the above 1-7 among a formula, and R expresses an alkyl group) is heated for under existence of an acid expresses a methyl group.

[0101] 9. Compound shown by the formula III to which R, R1, R2, R4, A, L, and n have the semantics of a publication in the above 1-8.

[0102] 10. Support, and the sterilization and the ** mold constituent which come at least to contain a kind of compound shown in any 1 term of the above 1-7 by the formula I of a publication as an activator.

[0103] 11. How to prevent the fungus of the whereabouts location which comes to contain processing with the compound shown by the formula I given [a whereabouts location (locus)] in any 1 term of the above 1-7, or a constituent given in the above 10.

[0104] 12. Use as sterilization and a ** mold agent of the compound shown in either of the above 1-7 by the formula I of a publication, or a constituent given in the above 10.

[Translation done.]